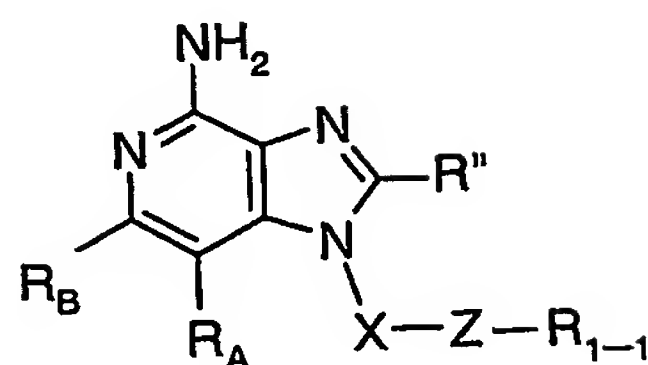


## WHAT IS CLAIMED IS:

1. A compound of the Formula (I):

5



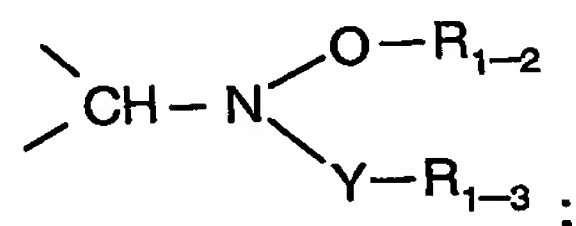
I

wherein:

10

Z is  $-C(=N-O-R_{1-2})-$ 

or



X is selected from the group consisting of:

15

 $-CH(R_9)-$ , $-CH(R_9)-alkylene-$ , and $-CH(R_9)-alkenylene-$ ,

wherein the alkylene and alkenylene are optionally interrupted by

one or more  $-O-$  groups; $R_{1-1}$  is selected from the group consisting of:

20

hydrogen,

alkyl,

aryl,

alkylene-aryl,

heteroaryl,

25

alkylene-heteroaryl, and

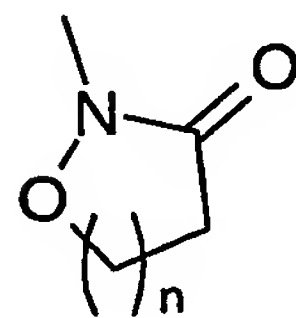
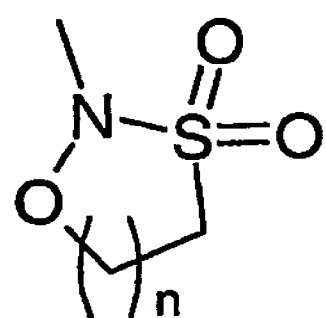
alkyl, aryl, alkylene-aryl, heteroaryl, or alkylene-heteroaryl

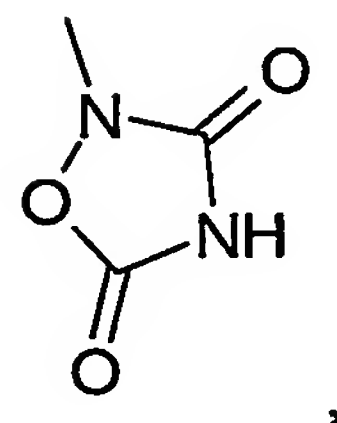
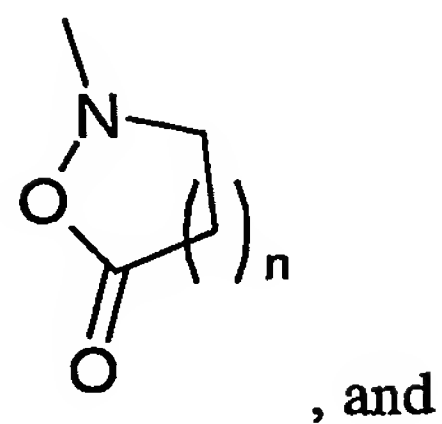
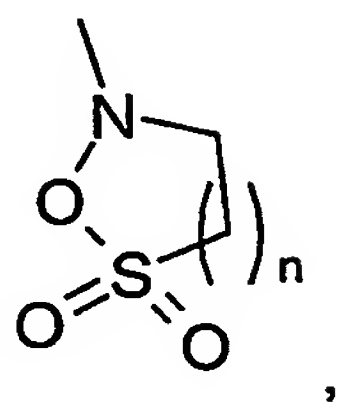
substituted by one or more substituents selected from the group consisting of:

- halogen,  
cyano,  
nitro,  
alkoxy,  
5 dialkylamino,  
alkylthio,  
haloalkyl,  
haloalkoxy,  
alkyl,  
10 -NH-SO<sub>2</sub>-R<sub>1-4</sub>,  
-NH-C(O)-R<sub>1-4</sub>,  
-NH-C(O)-NH<sub>2</sub>,  
-NH-C(O)-NH-R<sub>1-4</sub>, and  
-N<sub>3</sub>;
- 15 R<sub>1-2</sub> and R<sub>1-3</sub> are independently selected from the group consisting of:  
hydrogen,  
alkyl,  
alkenyl,  
aryl,  
20 arylalkylenyl,  
heteroaryl,  
heteroarylalkylenyl,  
heterocyclyl,  
heterocyclylalkylenyl, and  
25 alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,  
heterocyclyl, or heterocyclylalkylenyl, substituted by one or more  
substituents selected from the group consisting of:  
hydroxy,  
alkyl,  
30 haloalkyl,  
hydroxyalkyl,  
alkoxy,

dialkylamino,  
-S(O)<sub>0-2</sub>-alkyl,  
-S(O)<sub>0-2</sub>-aryl,  
-NH-S(O)<sub>2</sub>-alkyl,  
-NH-S(O)<sub>2</sub>-aryl,  
haloalkoxy,  
halogen,  
cyano,  
nitro,  
aryl,  
heteroaryl,  
heterocyclyl,  
aryloxy,  
arylalkyleneoxy,  
-C(O)-O-alkyl,  
-C(O)-N(R<sub>8</sub>)<sub>2</sub>,  
-N(R<sub>8</sub>)-C(O)-alkyl,  
-O-(CO)-alkyl, and  
-C(O)-alkyl,

or the R<sub>1-2</sub> and R<sub>1-3</sub> groups can join together to form a ring system  
selected from the group consisting of:





5

wherein  $n = 0, 1, 2, \text{ or } 3$ ;

$R_{1-4}$  is selected from the group consisting of:

alkyl,

10

aryl,

alkylene-aryl,

heteroaryl,

alkylene-heteroaryl, and

alkyl, aryl, alkylene-aryl, heteroaryl, or alkylene-heteroaryl

15

substituted by one or more substituents selected from the group consisting of:

halogen,

cyano,

nitro,

20

alkoxy,

dialkylamino,

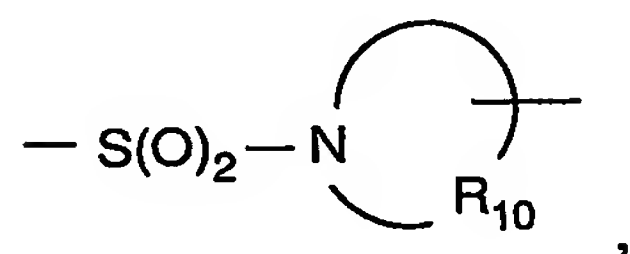
alkylthio,

haloalkyl,  
 haloalkoxy,  
 alkyl, and  
 $-N_3$ ;

5 Y is selected from the group consisting of:

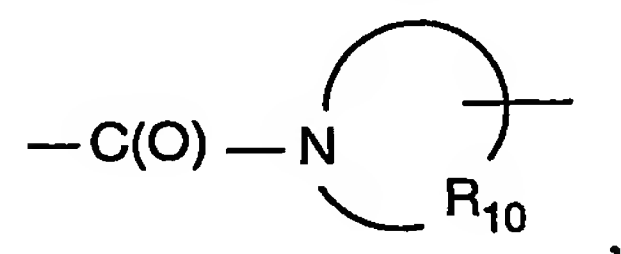
a bond,  
 $-C(O)-$ ,  
 $-C(S)-$ ,  
 $-S(O)_2-$ ,  
 $-S(O)_2-N(R_8)-$ ,

10



$-C(O)-O-$ ,  
 $-C(O)-N(R_8)-$ ,  
 $-C(S)-N(R_8)-$ ,  
 $-C(O)-N(R_8)-S(O)_2-$ ,  
 $-C(O)-N(R_8)-C(O)-$ ,  
 $-C(S)-N(R_8)-C(O)-$ ,

15



$-C(O)-C(O)-$ ,  
 $-C(O)-C(O)-O-$ , and  
 $-C(=NH)-N(R_8)-$ ;

20

$R_A$  and  $R_B$  are each independently selected from the group consisting of:

hydrogen,  
 halogen,  
 alkyl,  
 alkenyl,  
 alkoxy,  
 alkylthio, and  
 $-N(R_9)_2$ ;

25

or when taken together,  $R_A$  and  $R_B$  form a fused aryl ring or heteroaryl ring containing one heteroatom selected from the group consisting of N and S, wherein the aryl or heteroaryl ring is unsubstituted or substituted by one or more R groups, or substituted by one  $R_3$  group, or substituted by one  $R_3$  group and one R group;

or when taken together,  $R_A$  and  $R_B$  form a fused 5 to 7 membered saturated ring, optionally containing one heteroatom selected from the group consisting of N and S, and unsubstituted or substituted by one or more R groups;

R is selected from the group consisting of:

halogen,  
hydroxy,  
alkyl,  
alkenyl,  
haloalkyl,  
alkoxy,  
alkylthio, and  
 $-N(R_9)_2$ ;

$R''$  is hydrogen or a non-interfering substituent;

$R_3$  is selected from the group consisting of:

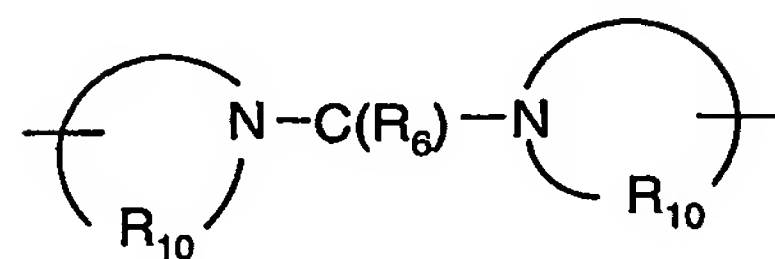
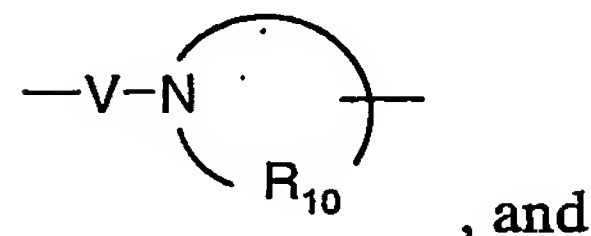
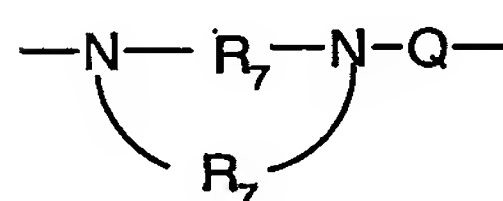
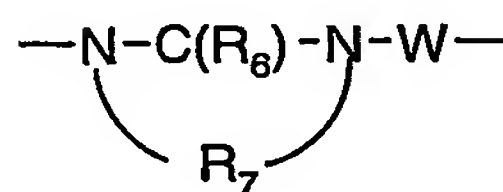
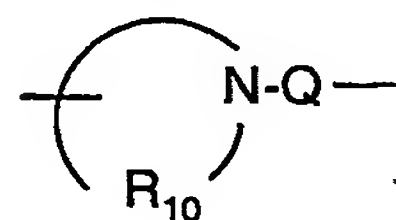
$-Z'-R_4$ ,  
 $-Z'-X'-R_4$ ,  
 $-Z'-X'-Y'-R_4$ , and  
 $-Z'-X'-R_5$ ;

$X'$  is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

$Y'$  is selected from the group consisting of:

-O-,  
 $-S(O)_{0-2}-$ ,  
 $-S(O)_2-N(R_8)-$ ,

$-C(R_6)-$ ,  
 $-C(R_6)-O-$ ,  
 $-O-C(R_6)-$ ,  
 $-O-C(O)-O-$ ,  
 $-N(R_8)-Q-$ ,  
 $-C(R_6)-N(R_8)-$ ,  
 $-O-C(R_6)-N(R_8)-$ ,  
 $-C(R_6)-N(OR_9)-$ ,

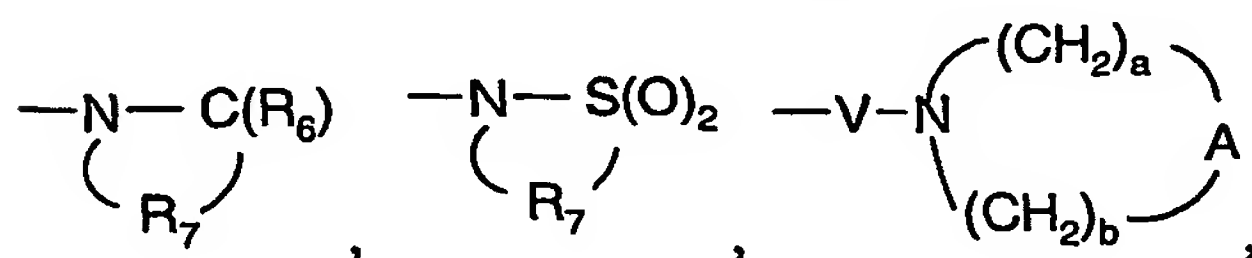


Z' is a bond or -O-;

R<sub>4</sub> is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino,

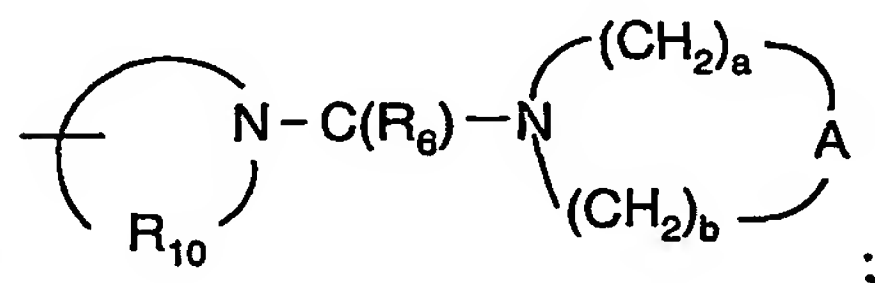
alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

$R_5$  is selected from the group consisting of



5

and



$R_6$  is selected from the group consisting of =O and =S;

$R_7$  is  $C_{2-7}$  alkylene;

$R_8$  is selected from the group consisting of hydrogen,

$C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{1-10}$  alkoxy- $C_{1-10}$  alkylenyl, hydroxy- $C_{1-10}$  alkylenyl, heteroaryl- $C_{1-10}$  alkylenyl, and aryl- $C_{1-10}$  alkylenyl;

$R_9$  is selected from the group consisting of hydrogen and alkyl;

$R_{10}$  is  $C_{3-8}$  alkylene;

A is selected from the group consisting of -O-, -C(O)-, -S(O)<sub>0-2</sub>-, -CH<sub>2</sub>-, and -N(R<sub>4</sub>)-;

15

Q is selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, -C(R<sub>6</sub>)-S-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

20

W is selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-;

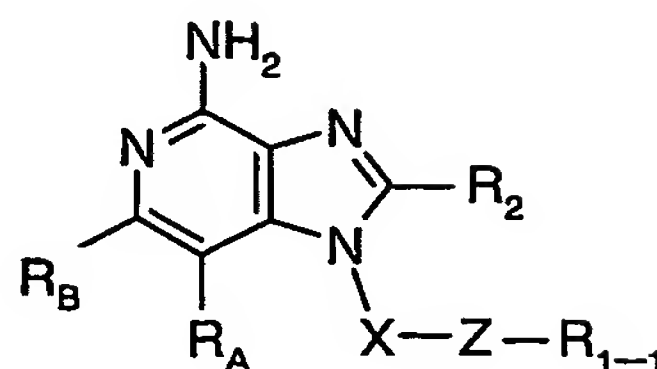
a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7;

or a pharmaceutically acceptable salt thereof.

25



2. A compound of the Formula (II):

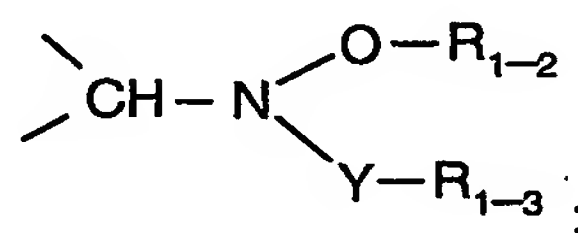


II

5 wherein:

Z is -C(=N-O-R<sub>1-2</sub>)-

or



X is selected from the group consisting of:

-CH(R<sub>9</sub>)-,

-CH(R<sub>9</sub>)-alkylene-, and

-CH(R<sub>9</sub>)-alkenylene-,

wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

R<sub>1-1</sub> is selected from the group consisting of:

hydrogen,

alkyl,

aryl,

alkylene-aryl,

heteroaryl,

alkylene-heteroaryl, and

alkyl, aryl, alkylene-aryl, heteroaryl, or alkylene-heteroaryl

substituted by one or more substituents selected from the group consisting of:

halogen,

cyano,

nitro,

alkoxy,

dialkylamino,  
alkylthio,  
haloalkyl,  
haloalkoxy,  
5 alkyl,  
-NH-SO<sub>2</sub>-R<sub>1-4</sub>,  
-NH-C(O)-R<sub>1-4</sub>,  
-NH-C(O)-NH<sub>2</sub>,  
-NH-C(O)-NH-R<sub>1-4</sub>, and  
10 -N<sub>3</sub>;

R<sub>1-2</sub> and R<sub>1-3</sub> are independently selected from the group consisting of:

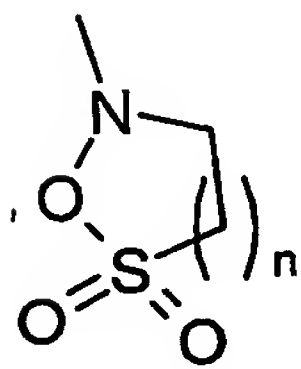
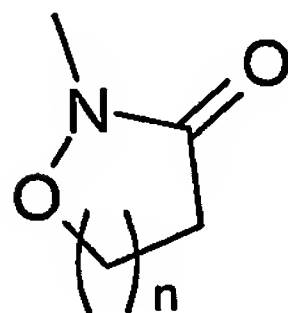
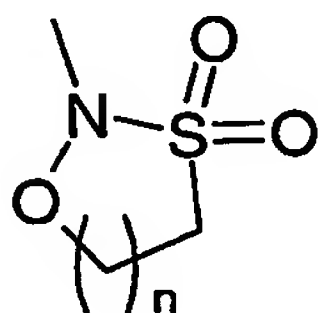
hydrogen,  
alkyl,  
alkenyl,  
15 aryl,  
arylalkylenyl,  
heteroaryl,  
heteroarylalkylenyl,  
heterocyclyl,  
20 heterocyclylalkylenyl, and  
alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,

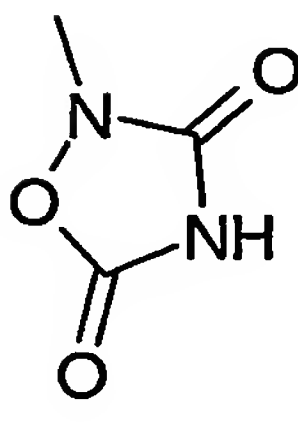
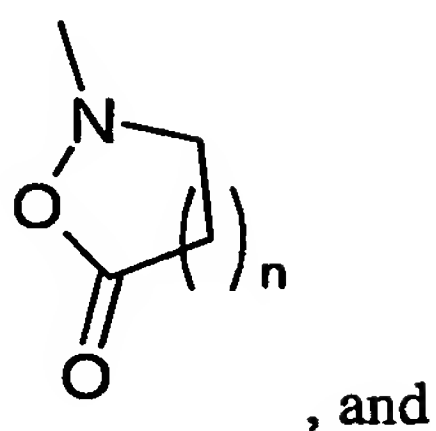
heterocyclyl, or heterocyclylalkylenyl, substituted by one or more  
substituents selected from the group consisting of:

hydroxy,  
25 alkyl,  
haloalkyl,  
hydroxyalkyl,  
alkoxy,  
dialkylamino,  
30 -S(O)<sub>0-2</sub>-alkyl,  
-S(O)<sub>0-2</sub>-aryl,  
-NH-S(O)<sub>2</sub>-alkyl,

5                   -NH-S(O)<sub>2</sub>-aryl,  
                   haloalkoxy,  
                   halogen,  
                   cyano,  
                   nitro,  
                   aryl,  
                   heteroaryl,  
                   heterocyclyl,  
                   aryloxy,  
 10                arylalkyleneoxy,  
                   -C(O)-O-alkyl,  
                   -C(O)-N(R<sub>8</sub>)<sub>2</sub>,  
                   -N(R<sub>8</sub>)-C(O)-alkyl,  
                   -O-(CO)-alkyl, and  
 15                -C(O)-alkyl;

or the R<sub>1-2</sub> and R<sub>1-3</sub> groups can join together to form a ring system  
 selected from the group consisting of:





5

wherein  $n = 0, 1, 2, \text{ or } 3$ ; $R_{1-4}$  is selected from the group consisting of:

alkyl,

aryl,

alkylene-aryl,

10

heteroaryl,

alkylene-heteroaryl, and

alkyl, aryl, alkylene-aryl, heteroaryl, or alkylene-heteroaryl

substituted by one or more substituents selected from the group

consisting of:

15

halogen,

cyano,

nitro,

alkoxy,

dialkylamino,

20

alkylthio,

haloalkyl,

haloalkoxy,

alkyl, and

 $-N_3$ ;

25

 $Y$  is selected from the group consisting of:

a bond,

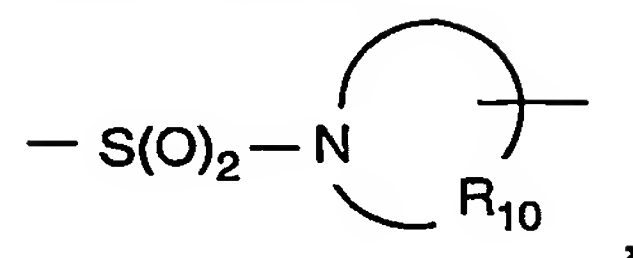
-C(O)-,

-C(S)-,

-S(O)<sub>2</sub>-,

5

-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,



-C(O)-O-,

-C(O)-N(R<sub>8</sub>)-,

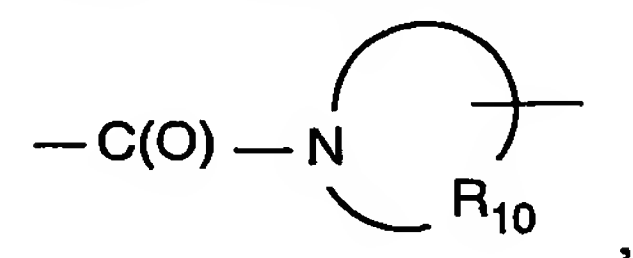
-C(S)-N(R<sub>8</sub>)-,

10

-C(O)-N(R<sub>8</sub>)-S(O)<sub>2</sub>-,

-C(O)-N(R<sub>8</sub>)-C(O)-,

-C(S)-N(R<sub>8</sub>)-C(O)-,



-C(O)-C(O)-,

15

-C(O)-C(O)-O-, and

-C(=NH)-N(R<sub>8</sub>)-;

R<sub>A</sub> and R<sub>B</sub> are each independently selected from the group consisting of:

hydrogen,

halogen,

20

alkyl,

alkenyl,

alkoxy,

alkylthio, and

-N(R<sub>9</sub>)<sub>2</sub>;

25

or when taken together, R<sub>A</sub> and R<sub>B</sub> form a fused aryl ring or heteroaryl ring containing one heteroatom selected from the group consisting of N and S, wherein the aryl or heteroaryl ring is unsubstituted or substituted by one or more R groups, or substituted by one R<sub>3</sub> group, or substituted by one R<sub>3</sub> group and one R group;

or when taken together,  $R_A$  and  $R_B$  form a fused 5 to 7 membered saturated ring, optionally containing one heteroatom selected from the group consisting of N and S, and unsubstituted or substituted by one or more R groups;

R is selected from the group consisting of:

- 5                   halogen,  
                    hydroxy,  
                    alkyl,  
                    alkenyl,  
                    haloalkyl,  
10                  alkoxy,  
                    alkylthio, and  
                     $-N(R_9)_2$ ;

$R_2$  is selected from the group consisting of:

- $-R_4$ ,  
15                   $-X'-R_4$ ,  
                     $-X'-Y'-R_4$ , and  
                     $-X'-R_5$ ;

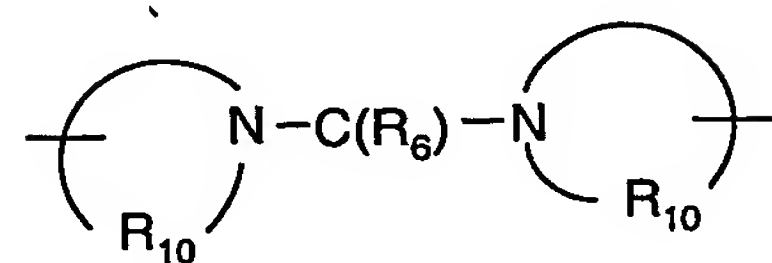
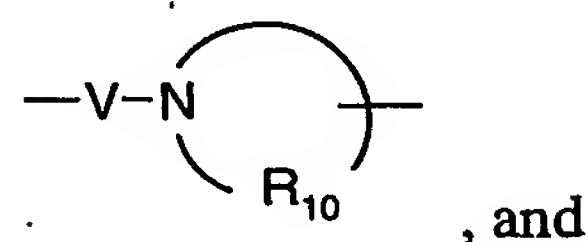
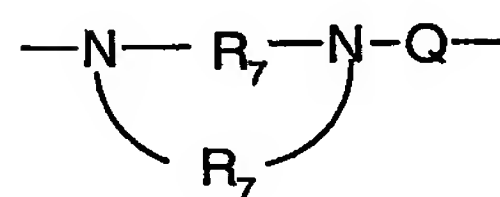
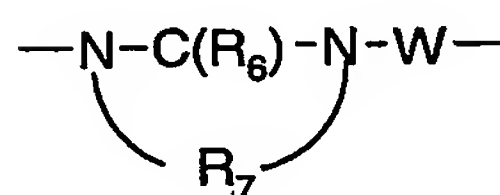
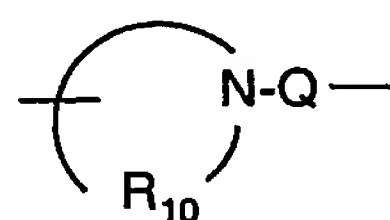
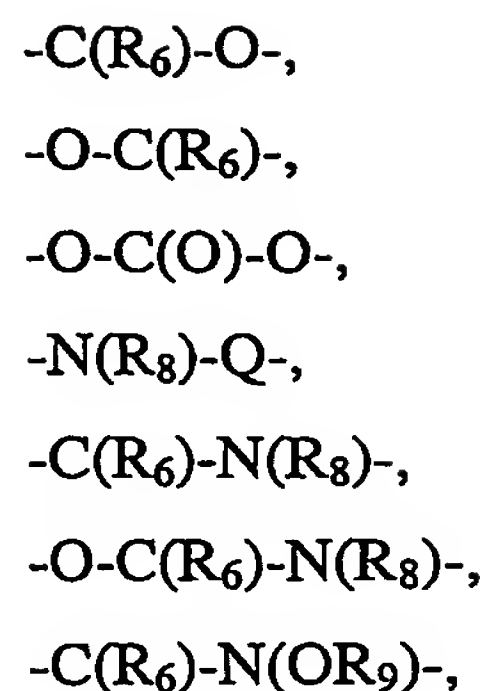
$R_3$  is selected from the group consisting of:

- $-Z'-R_4$ ,  
20                   $-Z'-X'-R_4$ ,  
                     $-Z'-X'-Y'-R_4$ , and  
                     $-Z'-X'-R_5$ ;

$X'$  is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by  
25                  arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

$Y'$  is selected from the group consisting of:

- O-,  
30                   $-S(O)_{0-2}-$ ,  
                     $-S(O)_2-N(R_8)-$ ,  
                     $-C(R_6)-$ ,

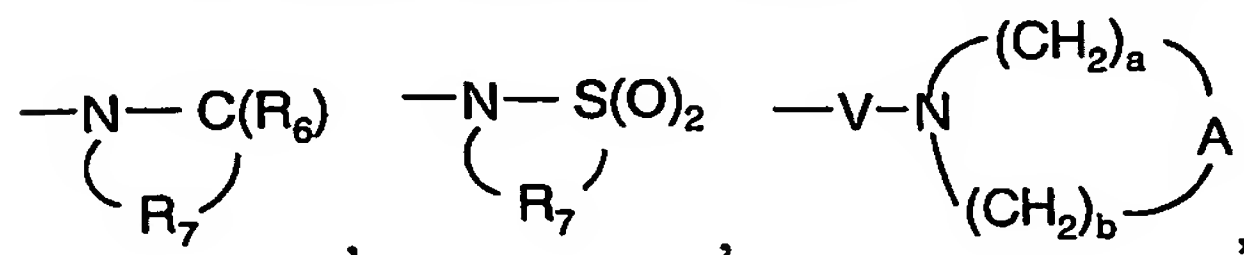


Z' is a bond or -O-;

R<sub>4</sub> is selected from the group consisting of hydrogen, alkyl, alkenyl,  
 alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl,  
 heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and  
 heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl,  
 aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl,  
 heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be  
 unsubstituted or substituted by one or more substituents independently selected  
 from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy,  
 halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy,  
 heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino,

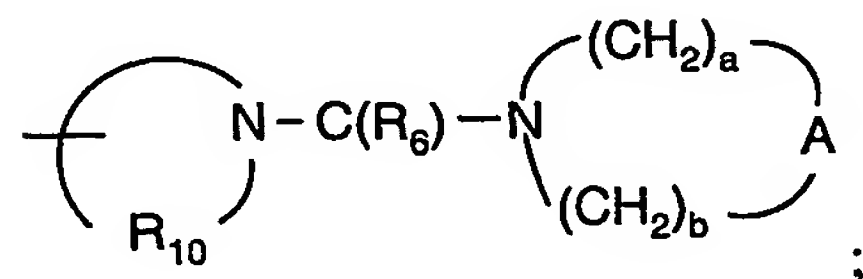
alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R<sub>5</sub> is selected from the group consisting of:



5

and



;

R<sub>6</sub> is selected from the group consisting of =O and =S;

R<sub>7</sub> is C<sub>2-7</sub> alkylene;

R<sub>8</sub> is selected from the group consisting of hydrogen,

C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, hydroxy-C<sub>1-10</sub> alkylenyl,

10

heteroaryl-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;

R<sub>9</sub> is selected from the group consisting of hydrogen and alkyl;

R<sub>10</sub> is C<sub>3-8</sub> alkylene;

A is selected from the group consisting of -O-, -C(O)-, -S(O)<sub>0-2</sub>-, -CH<sub>2</sub>-,

and -N(R<sub>4</sub>)-;

15

Q is selected from the group consisting of a bond, -C(R<sub>6</sub>)-,

-C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-,

-C(R<sub>6</sub>)-S-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-,

-N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

20

W is selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-;

and

a and b are each independently integers from 1 to 6 with the proviso that

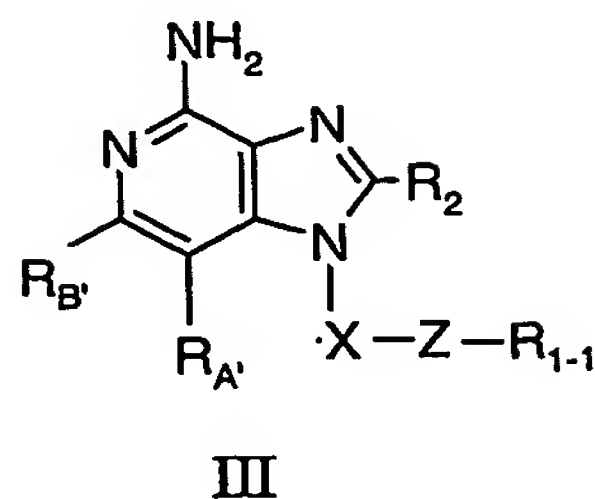
a + b is ≤ 7;

or a pharmaceutically acceptable salt thereof.

25



3. A compound of the Formula (III):

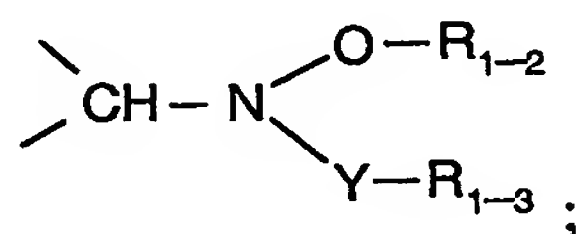


5

wherein:

Z is -C(=N-O-R<sub>1-2</sub>)-

or



X is selected from the group consisting of:

-CH(R<sub>9</sub>)-,

-CH(R<sub>9</sub>)-alkylene-, and

-CH(R<sub>9</sub>)-alkenylene-,

15

wherein the alkylene and alkenylene are optionally interrupted by

one or more -O- groups;

R<sub>1-1</sub> is selected from the group consisting of:

hydrogen,

20

alkyl,

aryl,

alkylene-aryl,

heteroaryl,

alkylene-heteroaryl, and

25

alkyl, aryl, alkylene-aryl, heteroaryl, or alkylene-heteroaryl

substituted by one or more substituents selected from the group

consisting of:

halogen,

cyano,

nitro,  
alkoxy,  
dialkylamino,  
alkylthio,  
5 haloalkyl,  
haloalkoxy,  
alkyl,  
-NH-SO<sub>2</sub>-R<sub>1-4</sub>,  
-NH-C(O)-R<sub>1-4</sub>,  
10 -NH-C(O)-NH<sub>2</sub>,  
-NH-C(O)-NH-R<sub>1-4</sub>, and  
-N<sub>3</sub>;

R<sub>1-2</sub> and R<sub>1-3</sub> are independently selected from the group consisting of:

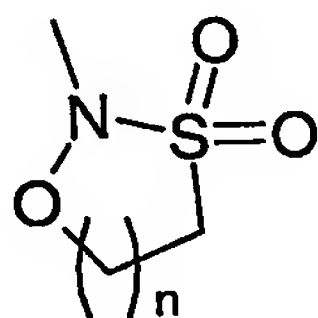
hydrogen,  
15 alkyl,  
alkenyl,  
aryl,  
arylalkylenyl,  
heteroaryl,  
20 heteroarylalkylenyl,  
heterocyclyl,  
heterocyclylalkylenyl, and  
alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,

heterocyclyl, or heterocyclylalkylenyl, substituted by one or more  
25 substituents selected from the group consisting of:

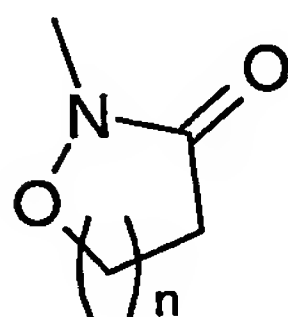
hydroxy,  
alkyl,  
haloalkyl,  
hydroxyalkyl,  
30 alkoxy,  
dialkylamino,  
-S(O)<sub>0-2</sub>-alkyl,

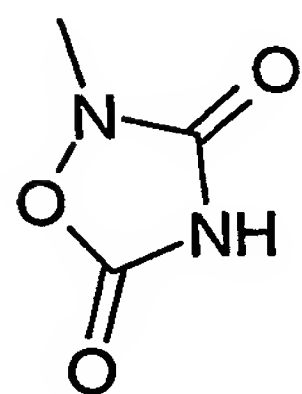
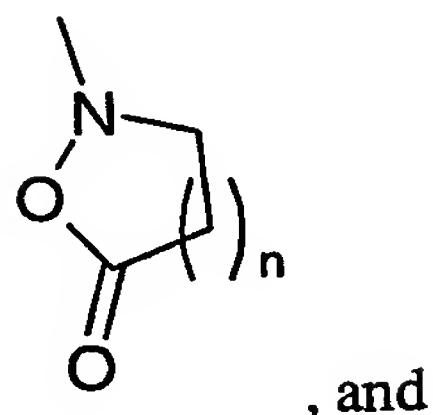
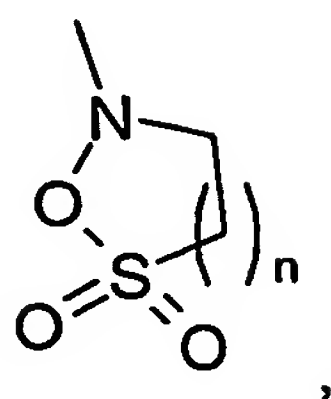
-S(O)<sub>0-2</sub>-aryl,  
-NH-S(O)<sub>2</sub>-alkyl,  
-NH-S(O)<sub>2</sub>-aryl,  
haloalkoxy,  
5 halogen,  
cyano,  
nitro,  
aryl,  
heteroaryl,  
10 heterocyclyl,  
aryloxy,  
arylalkyleneoxy,  
-C(O)-O-alkyl,  
-C(O)-N(R<sub>8</sub>)<sub>2</sub>,  
15 -N(R<sub>8</sub>)-C(O)-alkyl,  
-O-(CO)-alkyl, and  
-C(O)-alkyl;

or the R<sub>1-2</sub> and R<sub>1-3</sub> groups can join together to form a ring system  
selected from the group consisting of:



20





5

wherein  $n = 0, 1, 2, \text{ or } 3$ ;

$R_{1-4}$  is selected from the group consisting of:

alkyl;

10

aryl;

alkylene-aryl;

heteroaryl;

alkylene-heteroaryl; and

alkyl, aryl, alkylene-aryl, heteroaryl, or alkylene-heteroaryl

15

substituted by one or more substituents selected from the group consisting of:

halogen,

cyano,

nitro,

20

alkoxy,

dialkylamino,

alkylthio,

haloalkyl,  
 haloalkoxy,  
 alkyl, and  
 $-N_3$ ;

5 Y is selected from the group consisting of:

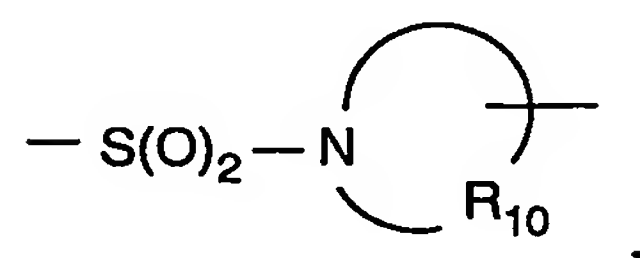
a bond,

$-C(O)-$ ,

$-C(S)-$ ,

$-S(O)_2-$ ,

10  $-S(O)_2-N(R_8)-$ ,



$-C(O)-O-$ ,

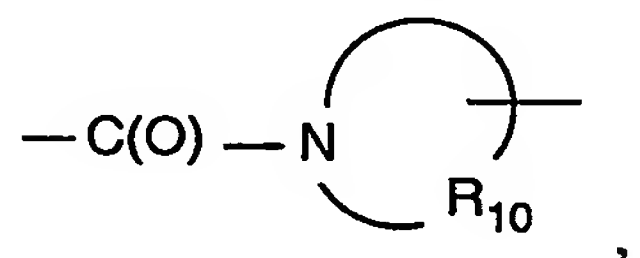
$-C(O)-N(R_8)-$ ,

$-C(S)-N(R_8)-$ ,

15  $-C(O)-N(R_8)-S(O)_2-$ ,

$-C(O)-N(R_8)-C(O)-$ ,

$-C(S)-N(R_8)-C(O)-$ ,



$-C(O)-C(O)-$ ,

20  $-C(O)-C(O)-O-$ , and

$-C(=NH)-N(R_8)-$ ;

$R_A$  and  $R_B$  are each independently selected from the group consisting of:

hydrogen,

halogen,

25 alkyl,

alkenyl,

alkoxy,

alkylthio, and

$-N(R_9)_2$ ;

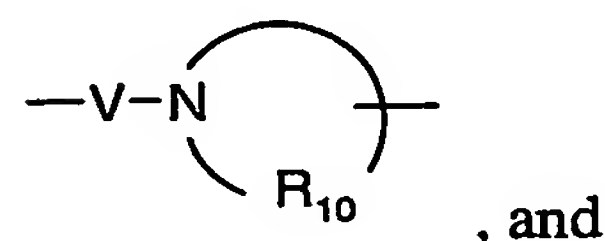
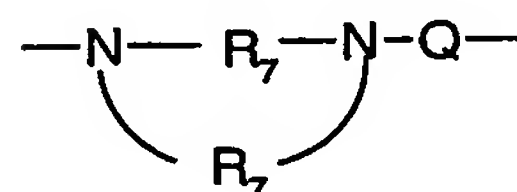
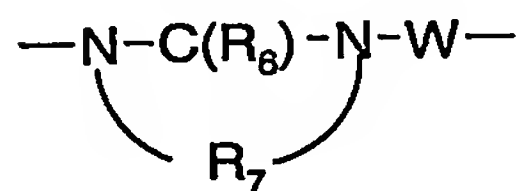
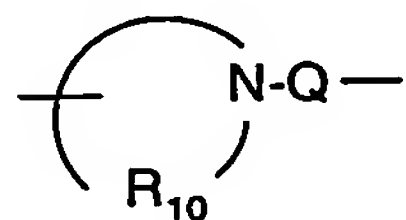
$R_2$  is selected from the group consisting of:

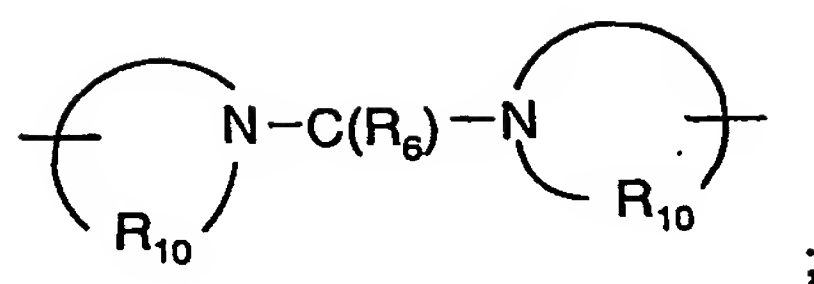
- $R_4$ ,
- $X'-R_4$ ,
- $X'-Y'-R_4$ , and
- $X'-R_5$ ;

$X'$  is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

$Y'$  is selected from the group consisting of:

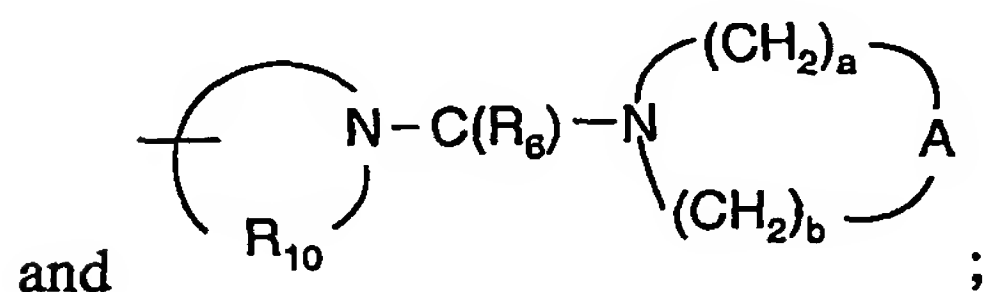
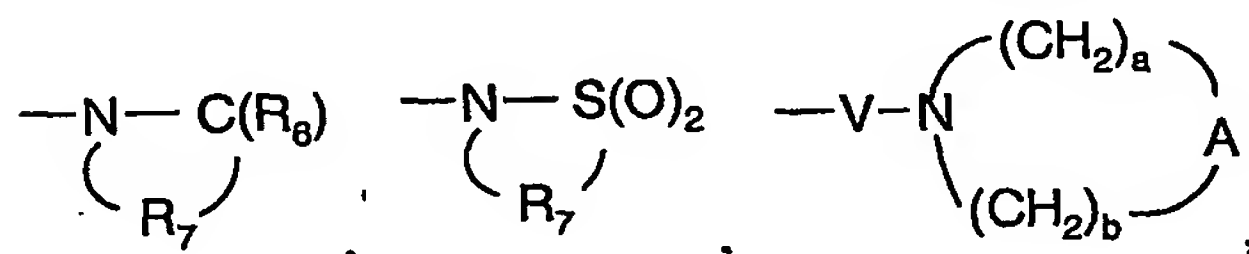
- O-,
- S(O)<sub>0-2</sub>-,
- S(O)<sub>2</sub>-N( $R_8$ )-,
- C( $R_6$ )-,
- C( $R_6$ )-O-,
- O-C( $R_6$ )-,
- O-C(O)-O-,
- N( $R_8$ )-Q-,
- C( $R_6$ )-N( $R_8$ )-,
- O-C( $R_6$ )-N( $R_8$ )-,
- C( $R_6$ )-N(OR<sub>9</sub>)-,





$\text{R}_4$  is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

$\text{R}_5$  is selected from the group consisting of:



$\text{R}_6$  is selected from the group consisting of =O and =S;

$\text{R}_7$  is  $\text{C}_{2-7}$  alkylene;

$\text{R}_8$  is selected from the group consisting of hydrogen,  $\text{C}_{1-10}$  alkyl,  $\text{C}_{2-10}$  alkenyl,  $\text{C}_{1-10}$  alkoxy- $\text{C}_{1-10}$  alkylenyl, hydroxy- $\text{C}_{1-10}$  alkylenyl, heteroaryl- $\text{C}_{1-10}$  alkylenyl, and aryl- $\text{C}_{1-10}$  alkylenyl;

$\text{R}_9$  is selected from the group consisting of hydrogen and alkyl;

$\text{R}_{10}$  is  $\text{C}_{3-8}$  alkylene;

$\text{A}$  is selected from the group consisting of -O-, -C(O)-, -S(O)<sub>0-2</sub>-, -CH<sub>2</sub>-, and -N( $\text{R}_4$ )-;

$\text{Q}$  is selected from the group consisting of a bond, -C( $\text{R}_6$ )-,

-C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, -C(R<sub>6</sub>)-S-,  
and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-,  
-N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

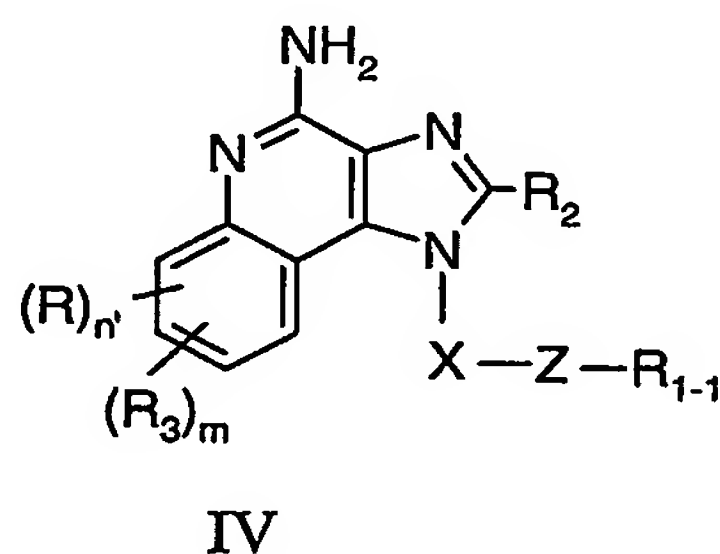
5 W is selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-;  
and

a and b are independently integers from 1 to 6 with the proviso that a + b  
is ≤ 7;

or a pharmaceutically acceptable salt thereof.

10

4. A compound of the Formula (IV):

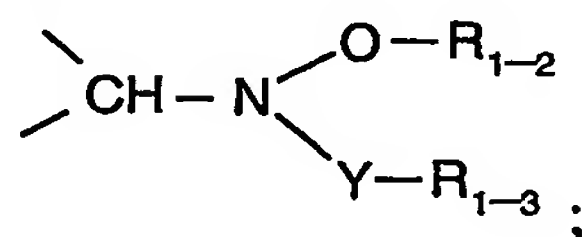


15

wherein:

Z is -C(=N-O-R<sub>1-2</sub>)-

or



20

X is selected from the group consisting of:

-CH(R<sub>9</sub>)-,

-CH(R<sub>9</sub>)-alkylene-, and

-CH(R<sub>9</sub>)-alkenylene-,

25

wherein the alkylene and alkenylene are optionally interrupted by  
one or more -O- groups;

R<sub>1-1</sub> is selected from the group consisting of:



hydrogen,  
alkyl,  
aryl,  
alkylene-aryl,  
5 heteroaryl,  
alkylene-heteroaryl, and  
alkyl, aryl, alkylene-aryl, heteroaryl, or alkylene-heteroaryl  
substituted by one or more substituents selected from the group  
consisting of:

10 halogen,  
cyano,  
nitro,  
alkoxy,  
dialkylamino,  
15 alkylthio,  
haloalkyl,  
haloalkoxy,  
alkyl,  
-NH-SO<sub>2</sub>-R<sub>1-4</sub>,  
20 -NH-C(O)-R<sub>1-4</sub>,  
-NH-C(O)-NH<sub>2</sub>,  
-NH-C(O)-NH-R<sub>1-4</sub>, and  
-N<sub>3</sub>;

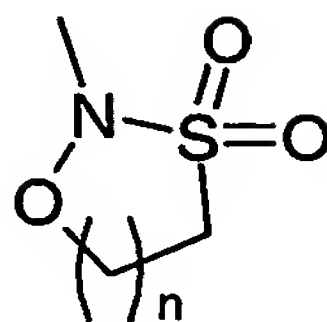
R<sub>1-2</sub> and R<sub>1-3</sub> are independently selected from the group consisting of:

25 hydrogen,  
alkyl,  
alkenyl,  
aryl,  
arylalkylenyl,  
30 heteroaryl,  
heteroarylalkylenyl,  
heterocyclyl,

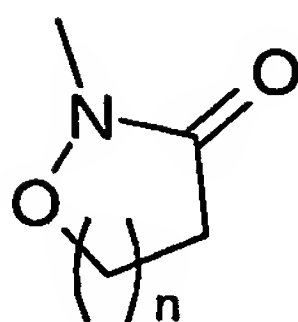
heterocyclalkylenyl, and  
alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,  
heterocycl, or heterocyclalkylenyl, substituted by one or more  
substituents selected from the group consisting of:

5 hydroxy,  
alkyl,  
haloalkyl,  
hydroxyalkyl,  
alkoxy,  
10 dialkylamino,  
-S(O)<sub>0-2</sub>-alkyl,  
-S(O)<sub>0-2</sub>-aryl,  
-NH-S(O)<sub>2</sub>-alkyl,  
-NH-S(O)<sub>2</sub>-aryl,  
15 haloalkoxy,  
halogen,  
cyano,  
nitro,  
aryl,  
20 heteroaryl,  
heterocycl,  
aryloxy,  
arylalkyleneoxy,  
-C(O)-O-alkyl,  
25 -C(O)-N(R<sub>8</sub>)<sub>2</sub>,  
-N(R<sub>8</sub>)-C(O)-alkyl,  
-O-(CO)-alkyl, and  
-C(O)-alkyl;

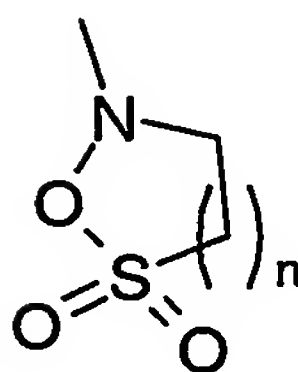
or the R<sub>1-2</sub> and R<sub>1-3</sub> groups can join together to form a ring system  
30 selected from the group consisting of:



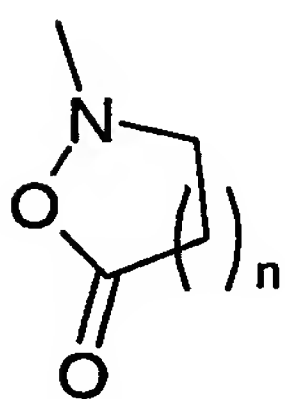
,



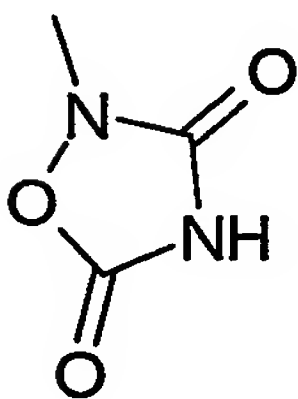
,



,



, and



,

wherein  $n = 0, 1, 2, \text{ or } 3$ ;

$R_{1-4}$  is selected from the group consisting of:

alkyl,

aryl,

alkylene-aryl,

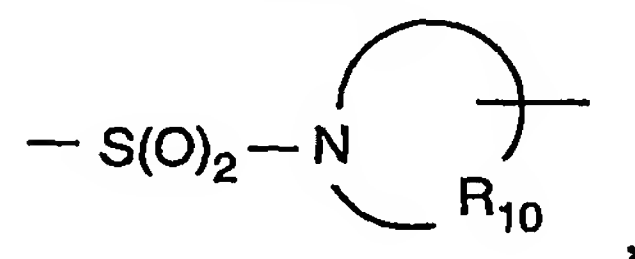
heteroaryl,

alkylene-heteroaryl, and  
 alkyl, aryl, alkylene-aryl, heteroaryl, or alkylene-heteroaryl  
 substituted by one or more substituents selected from the group  
 consisting of:

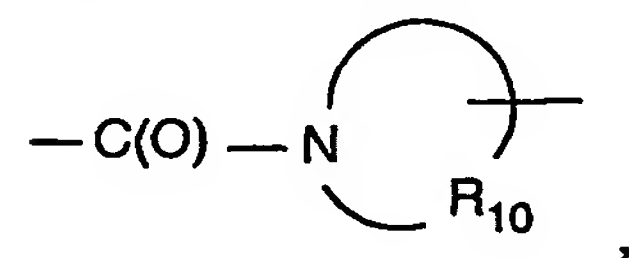
5 halogen,  
 cyano,  
 nitro,  
 alkoxy,  
 dialkylamino,  
 10 alkylthio,  
 haloalkyl,  
 haloalkoxy,  
 alkyl, and  
 -N<sub>3</sub>;

15 Y is selected from the group consisting of:

a bond,  
 -C(O)-,  
 -C(S)-,  
 -S(O)<sub>2</sub>-,  
 20 -S(O)<sub>2</sub>-N(R<sub>8</sub>)-,



-C(O)-O-,  
 -C(O)-N(R<sub>8</sub>)-,  
 -C(S)-N(R<sub>8</sub>)-,  
 25 -C(O)-N(R<sub>8</sub>)-S(O)<sub>2</sub>-,  
 -C(O)-N(R<sub>8</sub>)-C(O)-,  
 -C(S)-N(R<sub>8</sub>)-C(O)-,



-C(O)-C(O)-,

-C(O)-C(O)-O-, and

-C(=NH)-N(R<sub>8</sub>)-;

R is selected from the group consisting of:

halogen,

5

hydroxy,

alkyl,

alkenyl,

haloalkyl,

alkoxy,

10

alkylthio, and

-N(R<sub>9</sub>)<sub>2</sub>;

R<sub>2</sub> is selected from the group consisting of:

-R<sub>4</sub>,

-X'-R<sub>4</sub>,

15

-X'-Y'-R<sub>4</sub>, and

-X'-R<sub>5</sub>;

R<sub>3</sub> is selected from the group consisting of:

-Z'-R<sub>4</sub>,

-Z'-X'-R<sub>4</sub>,

20

-Z'-X'-Y'-R<sub>4</sub>, and

-Z'-X'-R<sub>5</sub>;

n' is an integer from 0 to 4;

m is 0 or 1; with the proviso that when m is 1, then n' is 0 or 1;

X' is selected from the group consisting of alkylene, alkenylene,

25

alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

Y' is selected from the group consisting of:

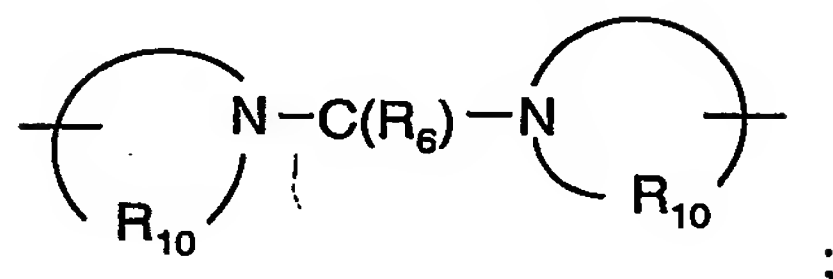
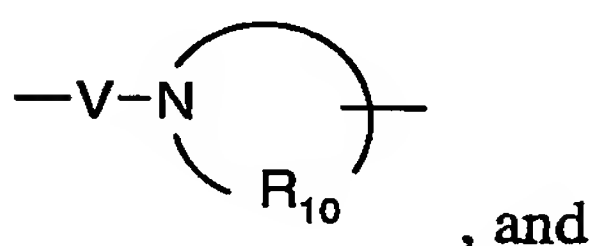
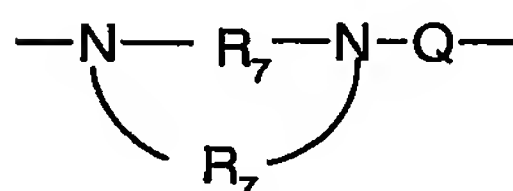
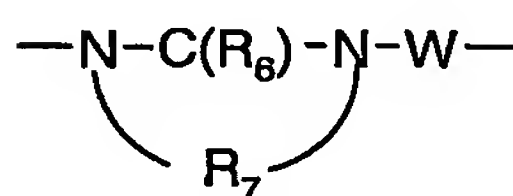
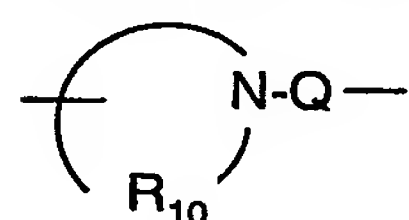
30

-O-,

-S(O)<sub>0-2</sub>-,

-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,

$-C(R_6)-$ ,  
 $-C(R_6)-O-$ ,  
 $-O-C(R_6)-$ ,  
 $-O-C(O)-O-$ ,  
 $-N(R_8)-Q-$ ,  
 $-C(R_6)-N(R_8)-$ ,  
 $-O-C(R_6)-N(R_8)-$ ,  
 $-C(R_6)-N(OR_9)-$ ,

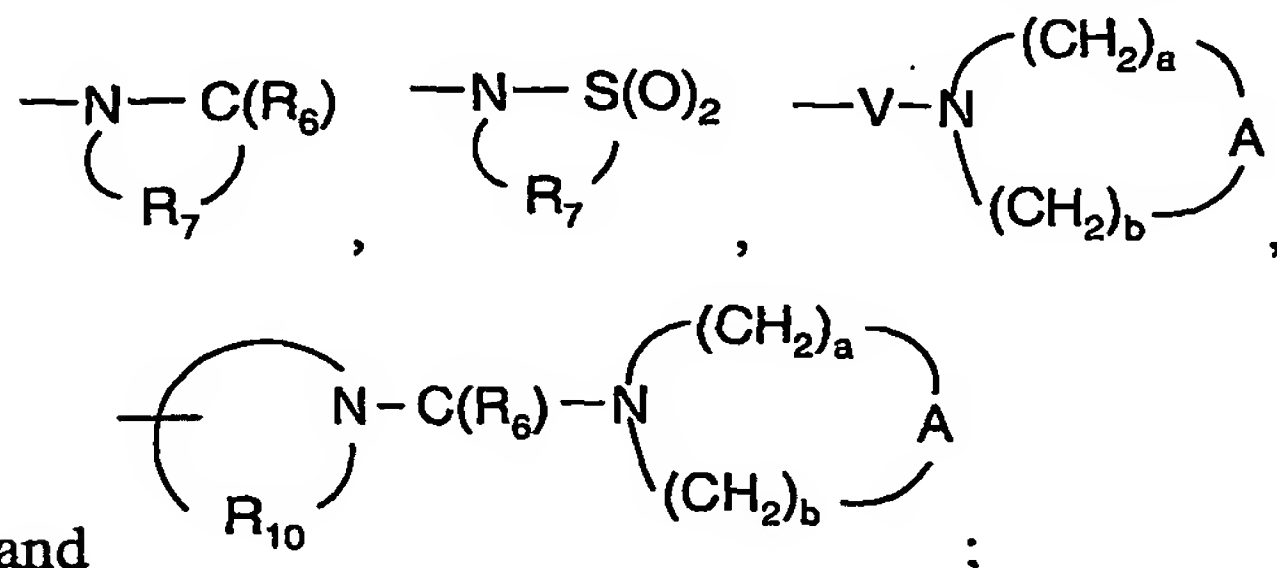


Z' is a bond or  $-O-$ ;

R<sub>4</sub> is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino,

alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

$R_5$  is selected from the group consisting of



R<sub>6</sub> is selected from the group consisting of =O and =S;

**R<sub>7</sub> is C<sub>2-7</sub> alkylene;**

**R<sub>8</sub> is selected from the group consisting of hydrogen,**

**C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, hydroxy-C<sub>1-10</sub> alkylenyl, heteroaryl-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;**

**R<sub>9</sub> is selected from the group consisting of hydrogen and alkyl;**

**R<sub>10</sub> is C<sub>3-8</sub> alkylene;**

A is selected from the group consisting of -O-, -C(O)-, -S(O)<sub>0-2</sub>-, -CH<sub>2</sub>-, and -N(R<sub>4</sub>)-;

Q is selected from the group consisting of a bond,

-C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-,  
-C(R<sub>6</sub>)-S-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

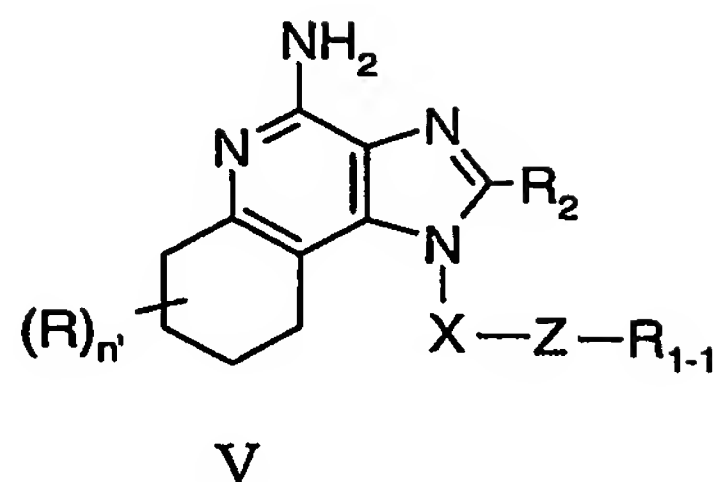
W is selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-;

and

a and b are each independently integers from 1 to 6 with the proviso that  $s \leq 7$ ;

or a pharmaceutically acceptable salt thereof.

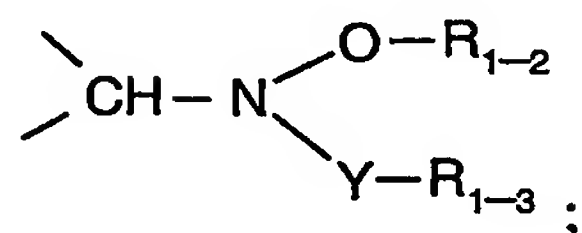
5. A compound of the Formula (V):



wherein:

Z is  $-C(=N-O-R_{1-2})-$

or



X is selected from the group consisting of:

$-CH(R_9)-$ ,

$-CH(R_9)-alkylene-$ , and

$-CH(R_9)-alkenylene-$ ,

wherein the alkylene and alkenylene are optionally interrupted by one or more  $-O-$  groups;

$R_{1-1}$  is selected from the group consisting of:

hydrogen,

alkyl,

aryl,

alkylene-aryl,

heteroaryl,

alkylene-heteroaryl, and

alkyl, aryl, alkylene-aryl, heteroaryl, or alkylene-heteroaryl

substituted by one or more substituents selected from the group consisting of:

halogen,

cyano,



nitro,  
alkoxy,  
dialkylamino,  
alkylthio,  
5 haloalkyl,  
haloalkoxy,  
alkyl,  
-NH-SO<sub>2</sub>-R<sub>1-4</sub>,  
-NH-C(O)-R<sub>1-4</sub>,  
10 -NH-C(O)-NH<sub>2</sub>,  
-NH-C(O)-NH-R<sub>1-4</sub>, and  
-N<sub>3</sub>;

R<sub>1-2</sub> and R<sub>1-3</sub> are independently selected from the group consisting of:

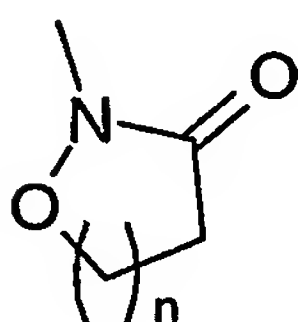
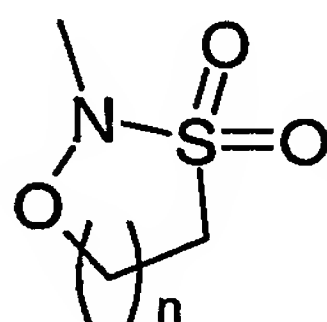
hydrogen,  
15 alkyl,  
alkenyl,  
aryl,  
arylalkylenyl,  
heteroaryl,  
20 heteroarylalkylenyl,  
heterocyclyl,  
heterocyclylalkylenyl, and  
alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,

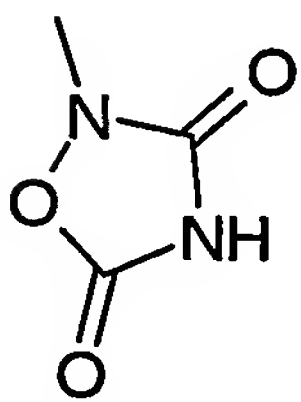
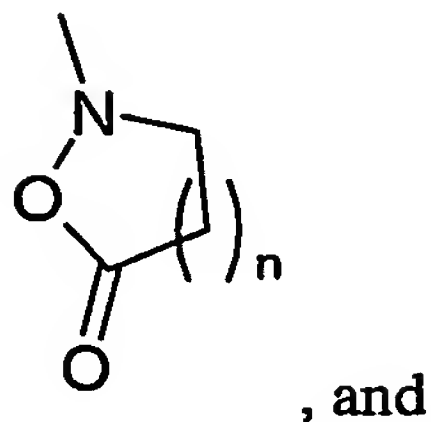
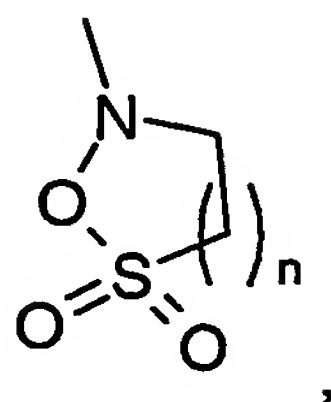
heterocyclyl, or heterocyclylalkylenyl, substituted by one or more  
25 substituents selected from the group consisting of:

hydroxy,  
alkyl,  
haloalkyl,  
hydroxyalkyl,  
30 alkoxy,  
dialkylamino,  
-S(O)<sub>0-2</sub>-alkyl,

-S(O)<sub>0-2</sub>-aryl,  
 -NH-S(O)<sub>2</sub>-alkyl,  
 -NH-S(O)<sub>2</sub>-aryl,  
 haloalkoxy,  
 halogen,  
 cyano,  
 nitro,  
 aryl,  
 heteroaryl,  
 heterocyclyl,  
 aryloxy,  
 arylalkyleneoxy,  
 -C(O)-O-alkyl,  
 -C(O)-N(R<sub>8</sub>)<sub>2</sub>,  
 -N(R<sub>8</sub>)-C(O)-alkyl,  
 -O-(CO)-alkyl, and  
 -C(O)-alkyl;

or the R<sub>1-2</sub> and R<sub>1-3</sub> groups can join together to form a ring system  
 selected from the group consisting of:





wherein  $n = 0, 1, 2$ , or  $3$ ;

**R<sub>1-4</sub> is selected from the group consisting of:**

alkyl,

aryl,

alkylene-aryl,

heteroaryl,

alkylene-heteroaryl, and

alkyl, aryl, alkylene-aryl, heteroaryl, or alkylene-heteroaryl

substituted by one or more substituents selected from the group

consisting of:

halogen,

cyano,

nitro,

alkoxy,

dialkylamino,

alkylthio,

haloalkyl,

haloalkoxy,  
alkyl, and  
-N<sub>3</sub>;

Y is selected from the group consisting of:

5 a bond,  
-C(O)-,  
-C(S)-,  
-S(O)<sub>2</sub>-,  
-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,

10  $-\text{S(O)}_2-\text{N} \begin{array}{c} \text{---} \\ \text{---} \end{array} \text{R}_{10}$  ,

-C(O)-O-,  
-C(O)-N(R<sub>8</sub>)-,  
-C(S)-N(R<sub>8</sub>)-,  
-C(O)-N(R<sub>8</sub>)-S(O)<sub>2</sub>-,  
15 -C(O)-N(R<sub>8</sub>)-C(O)-,  
-C(S)-N(R<sub>8</sub>)-C(O)-,

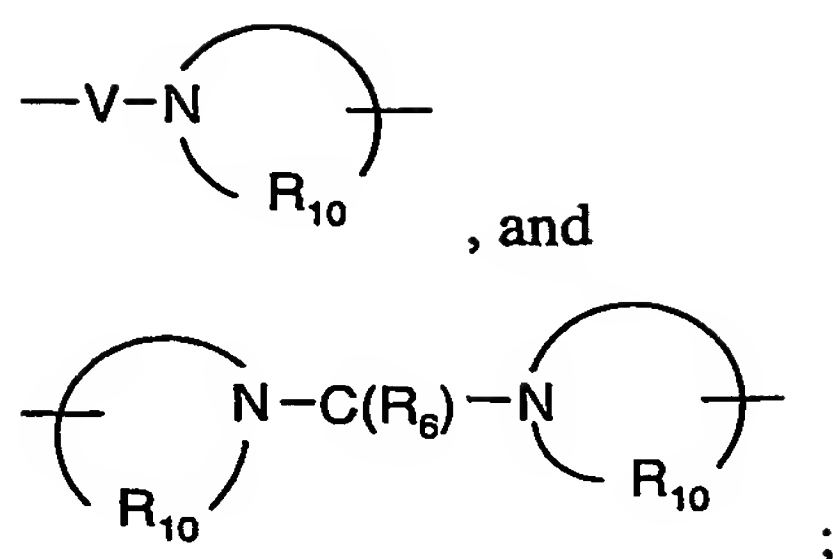
$-\text{C(O)}-\text{N} \begin{array}{c} \text{---} \\ \text{---} \end{array} \text{R}_{10}$  ,

-C(O)-C(O)-,  
-C(O)-C(O)-O-, and  
20 -C(=NH)-N(R<sub>8</sub>)-;

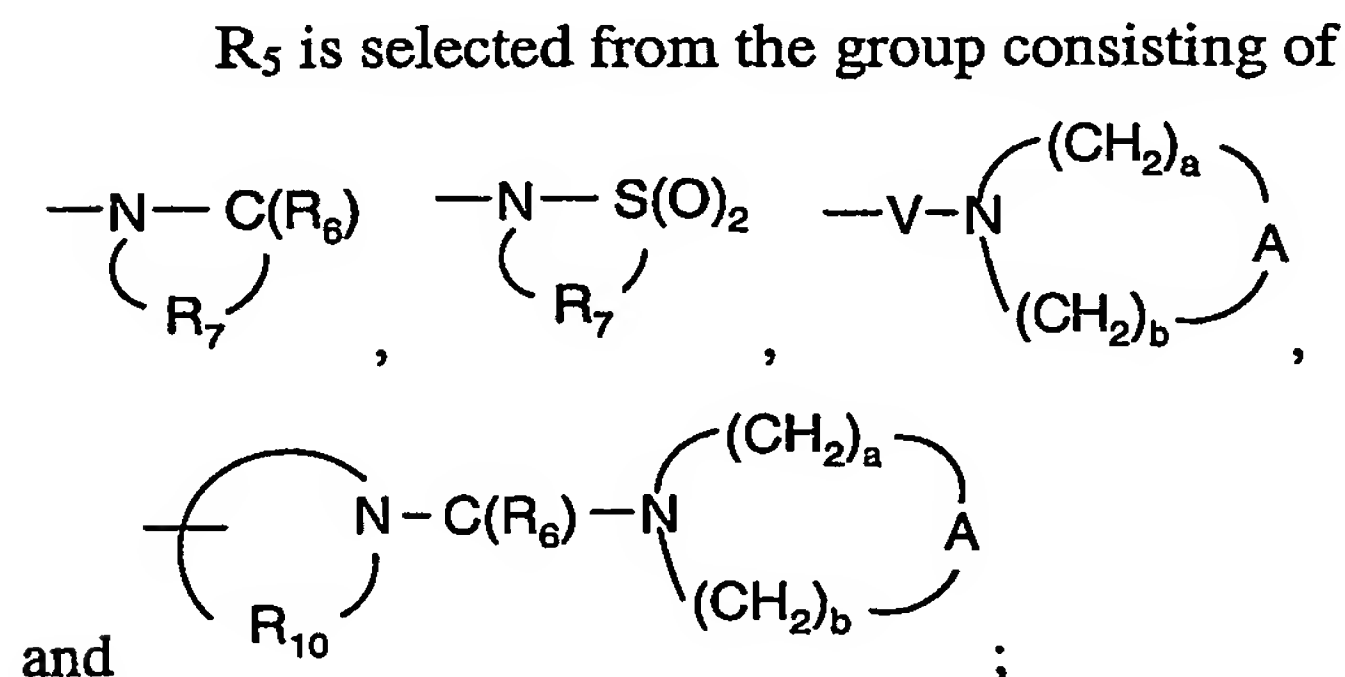
R is selected from the group consisting of:

halogen,  
hydroxy,  
alkyl,  
25 alkenyl,  
haloalkyl,  
alkoxy,  
alkylthio, and  
-N(R<sub>9</sub>)<sub>2</sub>;





$R_4$  is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;



$R_6$  is selected from the group consisting of =O and =S;

$R_7$  is  $C_{2-7}$  alkylene;

$R_8$  is selected from the group consisting of hydrogen,  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{1-10}$  alkoxy- $C_{1-10}$  alkylenyl, hydroxy- $C_{1-10}$  alkylenyl, heteroaryl- $C_{1-10}$  alkylenyl, and aryl- $C_{1-10}$  alkylenyl;

$R_9$  is selected from the group consisting of hydrogen and alkyl;

$R_{10}$  is  $C_{3-8}$  alkylene;

A is selected from the group consisting of -O-, -C(O)-, -S(O)<sub>0-2</sub>-, -CH<sub>2</sub>-, and -N(R<sub>4</sub>)-;

Q is selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, -C(R<sub>6</sub>)-S-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

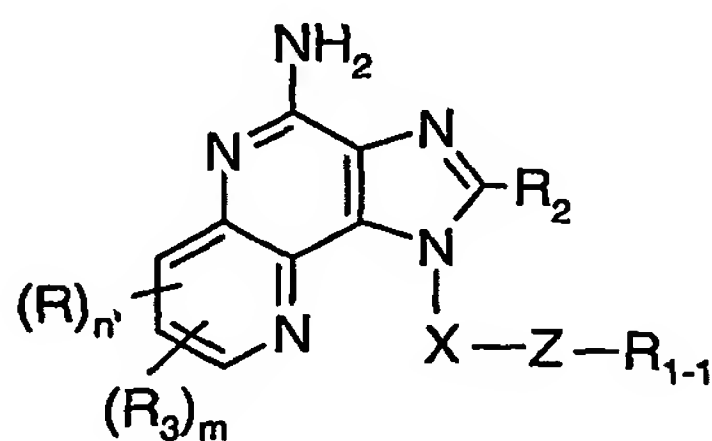
V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-;

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7;

or a pharmaceutically acceptable salt thereof.

6. A compound of the Formula (VI):

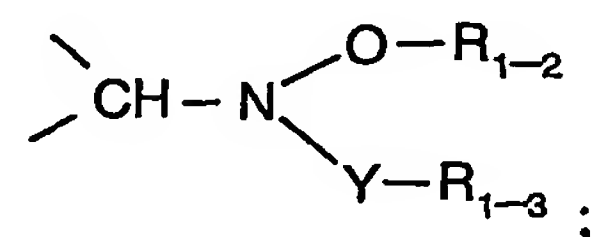


VI

wherein:

Z is -C(=N-O-R<sub>1-2</sub>)-

or



X is selected from the group consisting of:

-CH(R<sub>9</sub>)-,

-CH(R<sub>9</sub>)-alkylene-, and

-CH(R<sub>9</sub>)-alkenylene-,

wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

R<sub>1-1</sub> is selected from the group consisting of:

hydrogen,  
alkyl,  
aryl,  
alkylene-aryl,  
5 heteroaryl,  
alkylene-heteroaryl, and  
alkyl, aryl, alkylene-aryl, heteroaryl, or alkylene-heteroaryl  
substituted by one or more substituents selected from the group  
consisting of:

10 halogen,  
cyano,  
nitro,  
alkoxy,  
dialkylamino,  
15 alkylthio,  
haloalkyl,  
haloalkoxy,  
alkyl,  
-NH-SO<sub>2</sub>-R<sub>1-4</sub>,  
20 -NH-C(O)-R<sub>1-4</sub>,  
-NH-C(O)-NH<sub>2</sub>,  
-NH-C(O)-NH-R<sub>1-4</sub>, and  
-N<sub>3</sub>;

R<sub>1-2</sub> and R<sub>1-3</sub> are independently selected from the group consisting of:

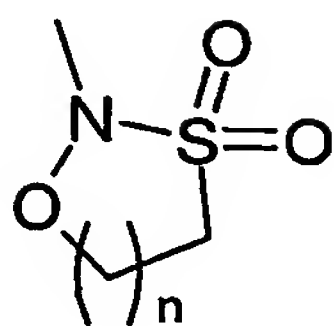
25 hydrogen,  
alkyl,  
alkenyl,  
aryl,  
arylalkylenyl,  
30 heteroaryl,  
heteroarylalkylenyl,  
heterocyclyl,



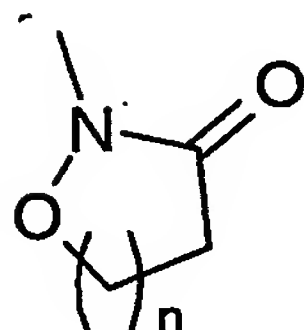
heterocyclalkylenyl, and  
alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,  
heterocycl, or heterocyclalkylenyl, substituted by one or more substituents  
selected from the group consisting of:

- 5 hydroxy,  
alkyl,  
haloalkyl,  
hydroxyalkyl,  
alkoxy,  
10 dialkylamino,  
-S(O)<sub>0-2</sub>-alkyl,  
-S(O)<sub>0-2</sub>-aryl,  
-NH-S(O)<sub>2</sub>-alkyl,  
-NH-S(O)<sub>2</sub>-aryl,  
15 haloalkoxy,  
halogen,  
cyano,  
nitro,  
aryl,  
20 heteroaryl,  
heterocycl,  
aryloxy,  
arylalkyleneoxy,  
-C(O)-O-alkyl,  
25 -C(O)-N(R<sub>8</sub>)<sub>2</sub>,  
-N(R<sub>8</sub>)-C(O)-alkyl,  
-O-(CO)-alkyl, and  
-C(O)-alkyl;

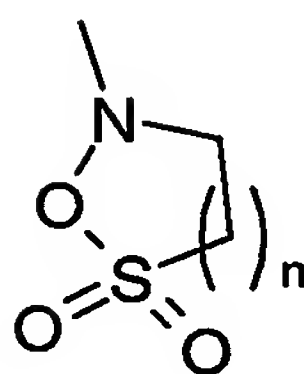
or the R<sub>1-2</sub> and R<sub>1-3</sub> groups can join together to form a ring system  
30 selected from the group consisting of:



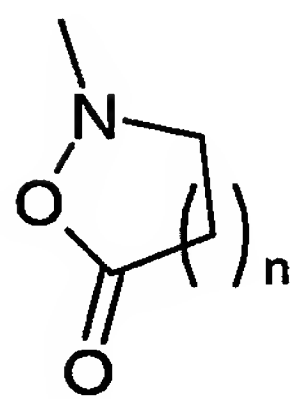
,



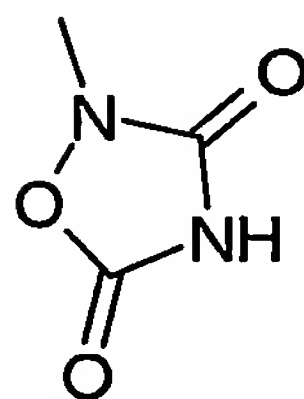
,



,



, and



,

wherein  $n = 0, 1, 2, \text{ or } 3$ ;

$R_{1-4}$  is selected from the group consisting of:

alkyl,

aryl,

alkylene-aryl,

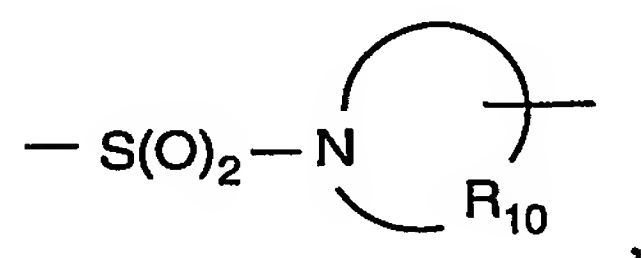
heteroaryl,

alkylene-heteroaryl, and  
 alkyl, aryl, alkylene-aryl, heteroaryl, or alkylene-heteroaryl  
 substituted by one or more substituents selected from the group  
 consisting of:

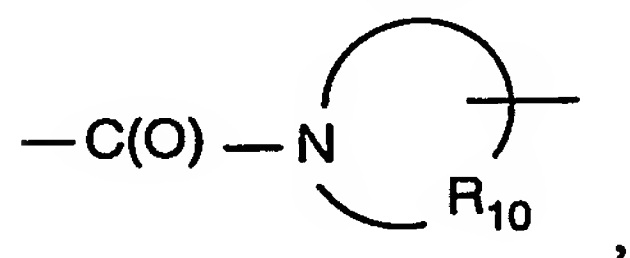
5 halogen,  
 cyano,  
 nitro,  
 alkoxy,  
 dialkylamino,  
 10 alkylthio,  
 haloalkyl,  
 haloalkoxy,  
 alkyl, and  
 -N<sub>3</sub>;

15 Y is selected from the group consisting of:

a bond,  
 -C(O)-,  
 -C(S)-,  
 -S(O)<sub>2</sub>-,  
 20 -S(O)<sub>2</sub>-N(R<sub>8</sub>)-,



-C(O)-O-,  
 -C(O)-N(R<sub>8</sub>)-,  
 -C(S)-N(R<sub>8</sub>)-,  
 25 -C(O)-N(R<sub>8</sub>)-S(O)<sub>2</sub>-,  
 -C(O)-N(R<sub>8</sub>)-C(O)-,  
 -C(S)-N(R<sub>8</sub>)-C(O)-,



-C(O)-C(O)-,

-C(O)-C(O)-O-, and

-C(=NH)-N(R<sub>8</sub>)-;

R is selected from the group consisting of:

halogen,

5 hydroxy,

alkyl,

alkenyl,

haloalkyl,

alkoxy,

10 alkylthio, and

-N(R<sub>9</sub>)<sub>2</sub>;

R<sub>2</sub> is selected from the group consisting of:

-R<sub>4</sub>,

-X'-R<sub>4</sub>,

15 -X'-Y'-R<sub>4</sub>, and

-X'-R<sub>5</sub>;

R<sub>3</sub> is selected from the group consisting of:

-Z'-R<sub>4</sub>,

-Z'-X'-R<sub>4</sub>,

20 -Z'-X'-Y'-R<sub>4</sub>, and

-Z'-X'-R<sub>5</sub>;

n' is an integer from 0 to 4;

m is 0 or 1; with the proviso that when m is 1, then n' is 0 or 1;

25 X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

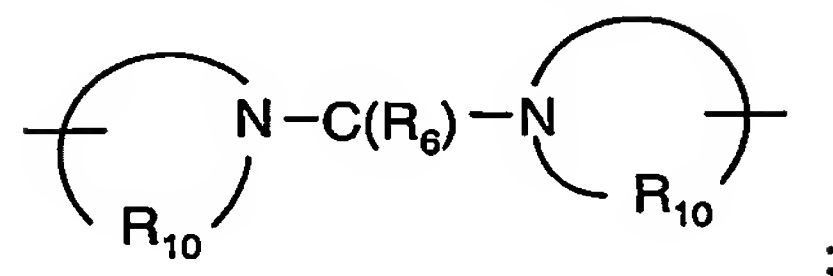
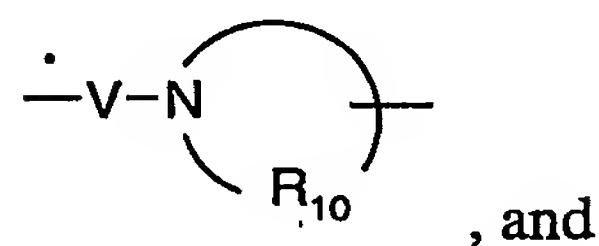
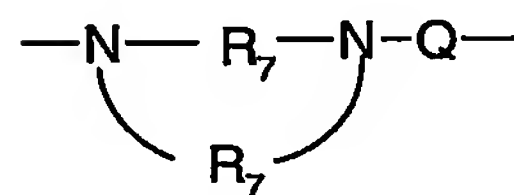
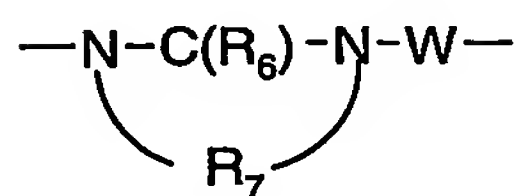
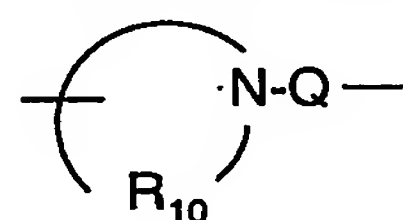
Y' is selected from the group consisting of:

30 -O-,

-S(O)<sub>0-2</sub>-,

-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,

$-\text{C}(\text{R}_6)-$ ,  
 $-\text{C}(\text{R}_6)-\text{O}-$ ,  
 $-\text{O}-\text{C}(\text{R}_6)-$ ,  
 $-\text{O}-\text{C}(\text{O})-\text{O}-$ ,  
 $-\text{N}(\text{R}_8)-\text{Q}-$ ,  
 $-\text{C}(\text{R}_6)-\text{N}(\text{R}_8)-$ ,  
 $-\text{O}-\text{C}(\text{R}_6)-\text{N}(\text{R}_8)-$ ,  
 $-\text{C}(\text{R}_6)-\text{N}(\text{OR}_9)-$ ,

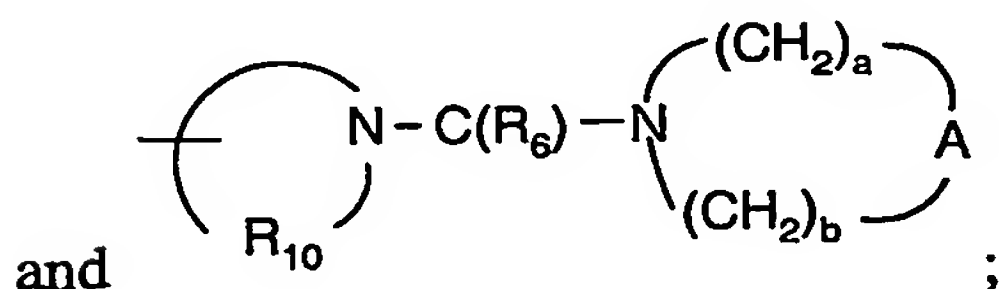
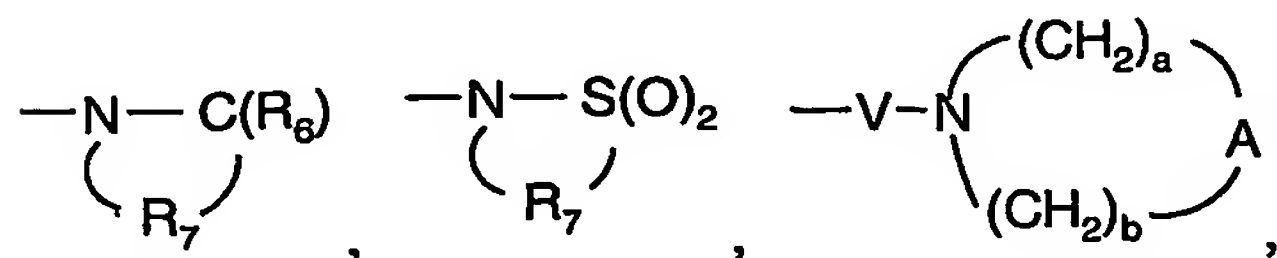


Z' is a bond or  $-\text{O}-$ ;

$\text{R}_4$  is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino,

alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

$R_5$  is selected from the group consisting of



**R<sub>6</sub> is selected from the group consisting of =O and =S;**

**R<sub>7</sub> is C<sub>2-7</sub> alkylene;**

**R<sub>8</sub> is selected from the group consisting of hydrogen,**

**C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, hydroxy-C<sub>1-10</sub> alkylenyl, heteroaryl-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;**

**R<sub>9</sub> is selected from the group consisting of hydrogen and alkyl;**

R<sub>10</sub> is C<sub>3-8</sub> alkylene;

A is selected from the group consisting of -O-, -C(O)-, -S(O)<sub>0-2</sub>-, -CH<sub>2</sub>-, and -N(R<sub>4</sub>)-;

Q is selected from the group consisting of a bond,  $-\text{C}(\text{R}_6)-$ ,  $-\text{C}(\text{R}_6)-\text{C}(\text{R}_6)-$ ,  $-\text{S}(\text{O})_2-$ ,  $-\text{C}(\text{R}_6)-\text{N}(\text{R}_8)-\text{W}-$ ,  $-\text{S}(\text{O})_2-\text{N}(\text{R}_8)-$ ,  $-\text{C}(\text{R}_6)-\text{O}-$ ,  $-\text{C}(\text{R}_6)-\text{S}-$ , and  $-\text{C}(\text{R}_6)-\text{N}(\text{OR}_9)-$ ;

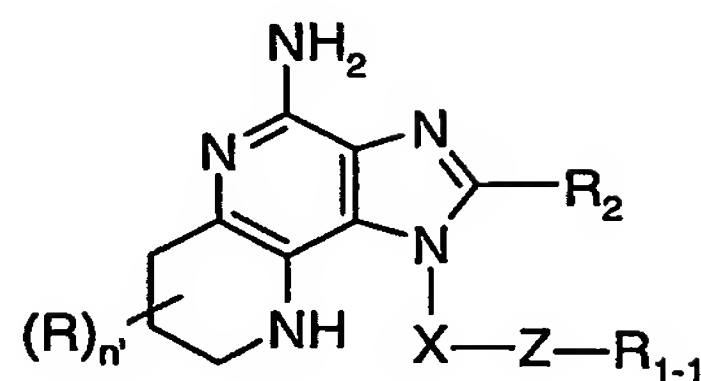
V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-;  
and

a and b are each independently integers from 1 to 6 with the proviso that  $a + b$  is  $\leq 7$ ;

or a pharmaceutically acceptable salt thereof.

7. A compound of the Formula (VII):

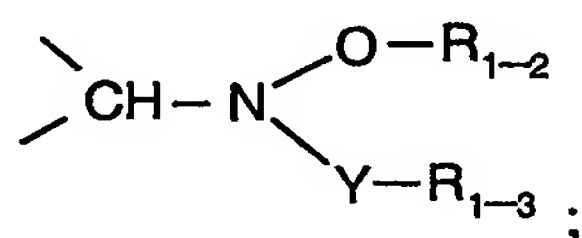


VII

wherein:

Z is -C(=N-O-R<sub>1-2</sub>)-

or



X is selected from the group consisting of:

-CH(R<sub>9</sub>)-,

-CH(R<sub>9</sub>)-alkylene-, and

-CH(R<sub>9</sub>)-alkenylene-,

wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

R<sub>1-1</sub> is selected from the group consisting of:

hydrogen,

alkyl,

aryl,

alkylene-aryl,

heteroaryl,

alkylene-heteroaryl, and

alkyl, aryl, alkylene-aryl, heteroaryl, or alkylene-heteroaryl

substituted by one or more substituents selected from the group consisting of:

halogen,

cyano,

nitro,  
alkoxy,  
dialkylamino,  
alkylthio,  
5 haloalkyl,  
haloalkoxy,  
alkyl,  
-NH-SO<sub>2</sub>-R<sub>1-4</sub>,  
-NH-C(O)-R<sub>1-4</sub>,  
10 -NH-C(O)-NH<sub>2</sub>,  
-NH-C(O)-NH-R<sub>1-4</sub>, and  
-N<sub>3</sub>;

R<sub>1-2</sub> and R<sub>1-3</sub> are independently selected from the group consisting of:

hydrogen,  
15 alkyl,  
alkenyl,  
aryl,  
arylalkylenyl,  
heteroaryl,  
20 heteroarylalkylenyl,  
heterocyclyl,  
heterocyclylalkylenyl, and  
alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,

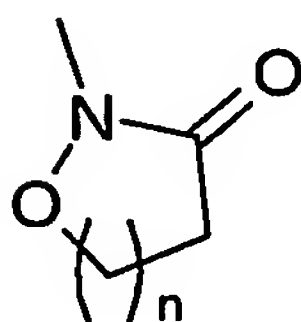
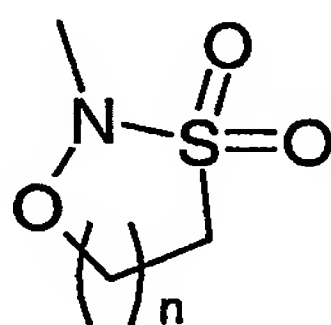
heterocyclyl, or heterocyclylalkylenyl, substituted by one or more  
25 substituents selected from the group consisting of:

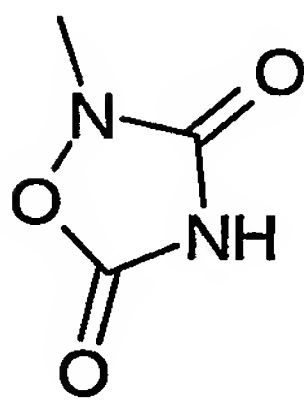
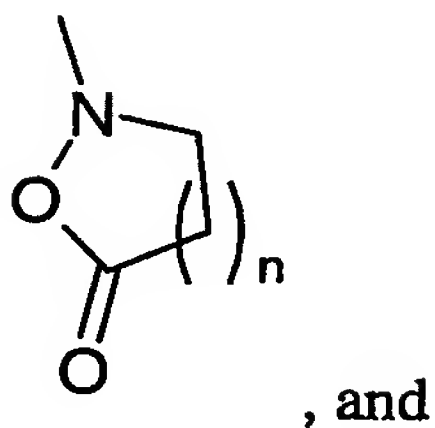
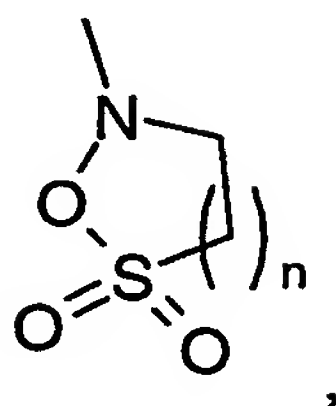
hydroxy,  
alkyl,  
haloalkyl,  
hydroxyalkyl,  
30 alkoxy,  
dialkylamino,  
-S(O)<sub>0-2</sub>-alkyl,



-S(O)<sub>0-2</sub>-aryl,  
 -NH-S(O)<sub>2</sub>-alkyl,  
 -NH-S(O)<sub>2</sub>-aryl,  
 haloalkoxy,  
 halogen,  
 cyano,  
 nitro,  
 aryl,  
 heteroaryl,  
 heterocyclyl,  
 aryloxy,  
 arylalkyleneoxy,  
 -C(O)-O-alkyl,  
 -C(O)-N(R<sub>8</sub>)<sub>2</sub>,  
 -N(R<sub>8</sub>)-C(O)-alkyl,  
 -O-(CO)-alkyl, and  
 -C(O)-alkyl;

or the R<sub>1-2</sub> and R<sub>1-3</sub> groups can join together to form a ring system  
 selected from the group consisting of:





wherein  $n = 0, 1, 2$ , or  $3$ ;

$R_{1-4}$  is selected from the group consisting of:

alkyl,

aryl,

alkylene-aryl,

heteroaryl,

alkylene-heteroaryl, and

alkyl, aryl, alkylene-aryl, heteroaryl, or alkylene-heteroaryl

substituted by one or more substituents selected from the group

consisting of:

halogen,

cyano,

nitro,

alkoxy,

dialkylamino,

**alkylthio,**

haloalkyl,

haloalkoxy,

alkyl, and

$-N_3$ ;

Y is selected from the group consisting of:

5 a bond,

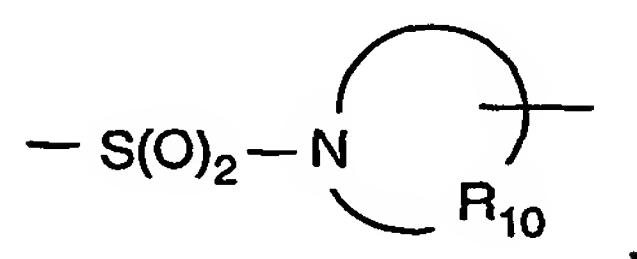
$-C(O)-$ ,

$-C(S)-$ ,

$-S(O)_2-$ ,

$-S(O)_2-N(R_8)-$ ,

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$-C(O)-O-$ ,

$-C(O)-N(R_8)-$ ,

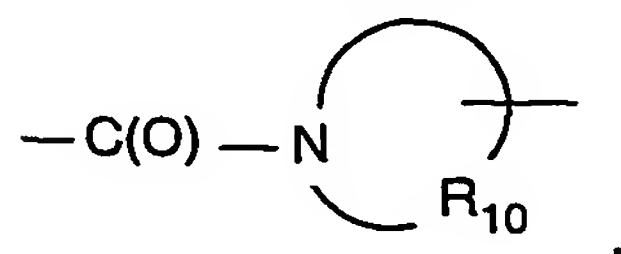
$-C(S)-N(R_8)-$ ,

$-C(O)-N(R_8)-S(O)_2-$ ,

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$-C(O)-N(R_8)-C(O)-$ ,

$-C(S)-N(R_8)-C(O)-$ ,



$-C(O)-C(O)-$ ,

$-C(O)-C(O)-O-$ , and

20

$-C(=NH)-N(R_8)-$ ;

R is selected from the group consisting of:

halogen,

hydroxy,

alkyl,

25

alkenyl,

haloalkyl,

alkoxy,

alkylthio, and

$-N(R_9)_2$ ;

$R_2$  is selected from the group consisting of:

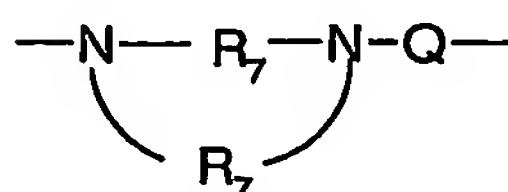
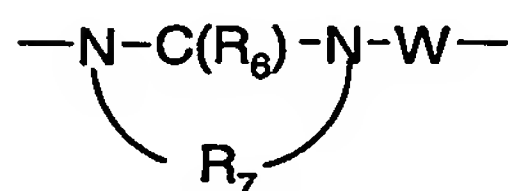
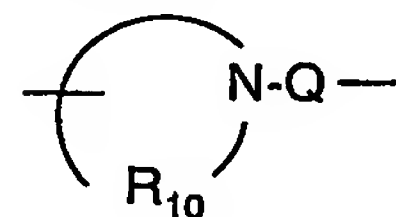
- $R_4$ ,
- $X'-R_4$ ,
- $X'-Y'-R_4$ , and
- $X'-R_5$ ;

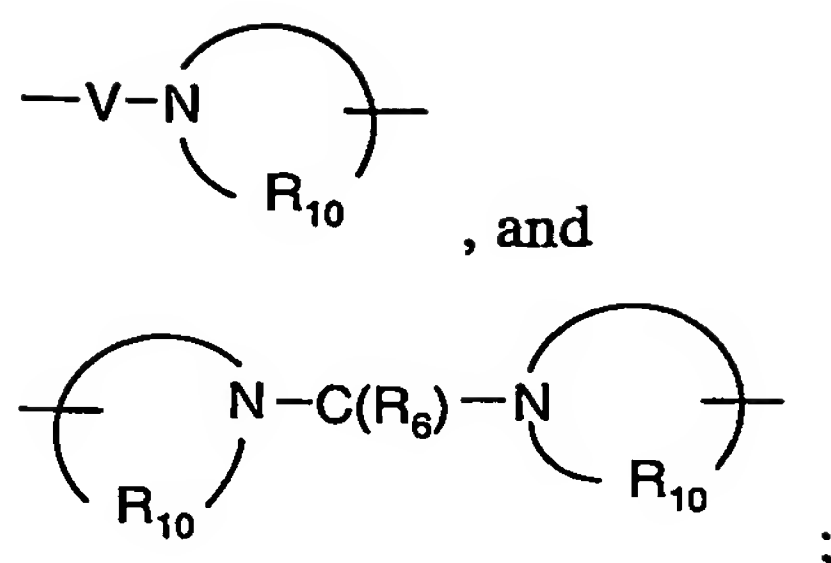
$n'$  is an integer from 0 to 4;

$X'$  is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

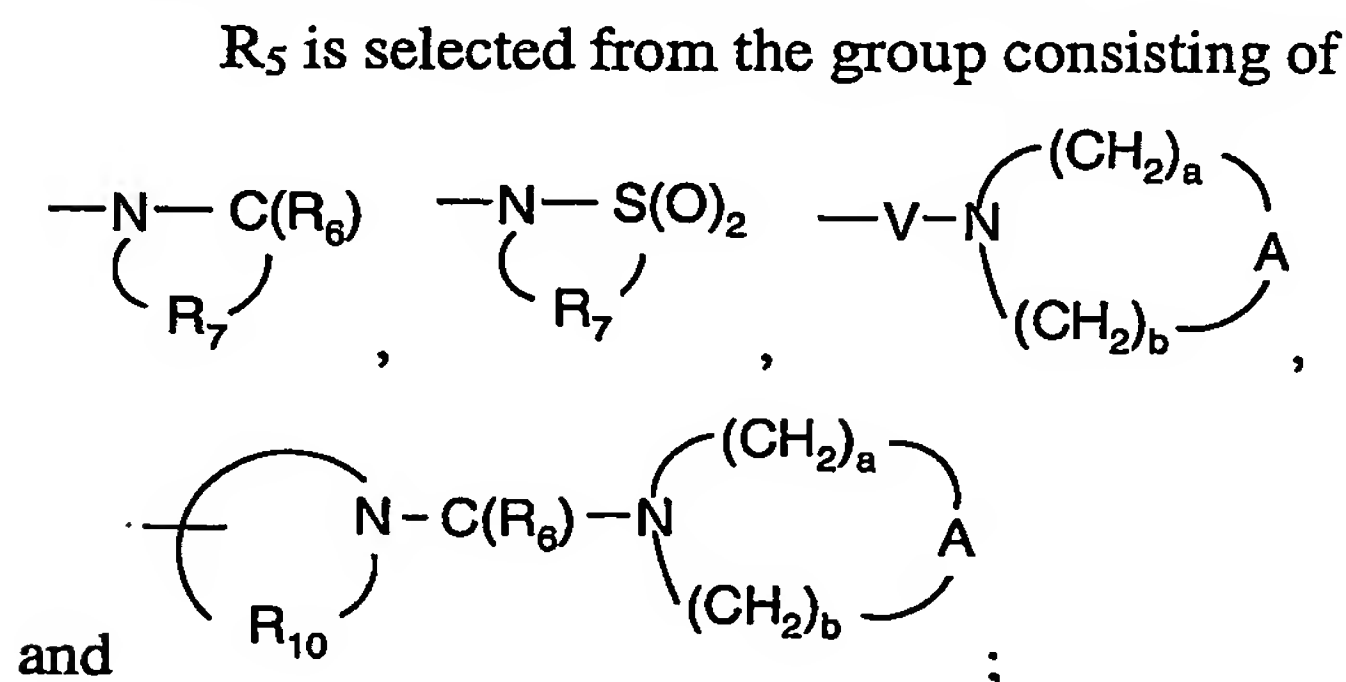
$Y'$  is selected from the group consisting of:

- O-,
- $S(O)_{0-2}$ -,
- $S(O)_2-N(R_8)$ -,
- $C(R_6)$ -,
- $C(R_6)-O$ -,
- $O-C(R_6)$ -,
- $O-C(O)-O$ -,
- $N(R_8)-Q$ -,
- $C(R_6)-N(R_8)$ -,
- $O-C(R_6)-N(R_8)$ -,
- $C(R_6)-N(OR_9)$ -,





$R_4$  is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;



$R_6$  is selected from the group consisting of =O and =S;

$R_7$  is C<sub>2-7</sub> alkylene;

$R_8$  is selected from the group consisting of hydrogen, C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, hydroxy-C<sub>1-10</sub> alkylenyl, heteroaryl-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;

$R_9$  is selected from the group consisting of hydrogen and alkyl;

$R_{10}$  is C<sub>3-8</sub> alkylene;

A is selected from the group consisting of -O-, -C(O)-, -S(O)<sub>0-2</sub>-, -CH<sub>2</sub>-, and -N(R<sub>4</sub>)-;

Q is selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, -C(R<sub>6</sub>)-S-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-;

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7;

or a pharmaceutically acceptable salt thereof.

8. The compound or salt of claim 3 wherein R<sub>A</sub>' and R<sub>B</sub>' are each independently selected from the group consisting of hydrogen and alkyl.

9. The compound or salt of claim 8 wherein R<sub>A</sub>' and R<sub>B</sub>' are both methyl.

10. The compound or salt of claim 1 wherein R" is selected from the group consisting of hydrogen, hydroxymethyl, C<sub>1-4</sub> alkyl, and C<sub>1-4</sub> alkyl-O-C<sub>1-4</sub> alkylenyl.

11. The compound or salt of any one of claims 1, 2, or 10 wherein R<sub>A</sub> and R<sub>B</sub> are each independently selected from the group consisting of:

hydrogen,  
halogen,  
alkyl,  
alkenyl,  
alkoxy,  
alkylthio, and  
-N(R<sub>9</sub>)<sub>2</sub>.

12. The compound or salt of any one of claims 1, 2, or 10 wherein  $R_A$  and  $R_B$  form a fused aryl ring or heteroaryl ring containing one N, wherein the aryl or heteroaryl ring is unsubstituted or substituted by one or more R groups, or substituted by one  $R_3$  group, or substituted by one  $R_3$  group and one R group.

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13. The compound or salt of any one of claims 1, 2 or 10 wherein  $R_A$  and  $R_B$  form a fused 5 to 7 membered saturated ring, which may optionally contain one N, wherein the saturated ring is unsubstituted or substituted by one or more R groups.

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14. The compound or salt of any one of claims 4 or 6 wherein m is 0.

15. The compound or salt of any one of claims 4 through 7 or claim 14 wherein  $n'$  is 0.

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16. The compound or salt of claim 14 wherein m and  $n'$  are both 0.

17. The compound or salt of any one of claims 4 or 6, or claim 15 as dependent on either of claims 4 or 6, wherein  $R_3$  is selected from the group consisting of pyridin-3-yl, pyridin-4-yl, 5-(hydroxymethyl)pyridin-3-yl, and 2-ethoxyphenyl.

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18. The compound or salt of any one of claims 2 through 9, or 14 through 17, or claims 11 through 13 as dependent on claim 2, wherein  $R_2$  is selected from the group consisting of:

25

hydrogen,  
alkyl,  
alkenyl,  
aryl,  
heteroaryl,  
heterocyclyl,  
alkylene- $Y''$ -alkyl,

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alkylene-Y''-aryl, and  
 alkyl or alkenyl substituted by one or more substituents selected  
 from the group consisting of:

hydroxy,  
 halogen,  
 $-N(R_{11})_2$ ,  
 $-C(O)-C_{1-10}$  alkyl,  
 $-C(O)-O-C_{1-10}$  alkyl,  
 $-N(R_{11})-C(O)-C_{1-10}$  alkyl,  
 aryl,  
 heteroaryl,  
 heterocyclyl,  
 $-C(O)-aryl$ , and  
 $-C(O)-heteroaryl$ ;

wherein:

Y'' is  $-O-$  or  $-S(O)_{0-2}-$ ; and

$R_{11}$  is selected from the group consisting of hydrogen,  $C_{1-10}$  alkyl,  
 and  $C_{2-10}$  alkenyl.

19. The compound or salt of claim 18 wherein  $R_2$  is selected from the group  
 consisting of hydrogen, hydroxymethyl,  $C_{1-4}$  alkyl, and  
 $C_{1-4}$  alkyl- $O-C_{1-4}$  alkylenyl.

20. The compound or salt of any one of claims 1 through 19 wherein X is  
 selected from the group consisting of  $-(CH_2)_{1-6}$ ,  $-CH_2C(CH_3)_2-$ ,  
 $-CH_2C(CH_3)_2CH_2-$ ,  $-(CH_2)_2OCH_2-$ , and  $-(CH_2)_3OCH_2-$ .

21. The compound or salt of any one of claims 1 through 20 wherein  $R_{1-1}$  is  
 selected from the group consisting of hydrogen,  $C_{1-4}$  alkyl, and phenyl.

22. The compound or salt of any one of claims 1 through 21 wherein  $R_{1-2}$  is  
 selected from the group consisting of hydrogen,  $C_{1-4}$  alkyl, benzyl, and

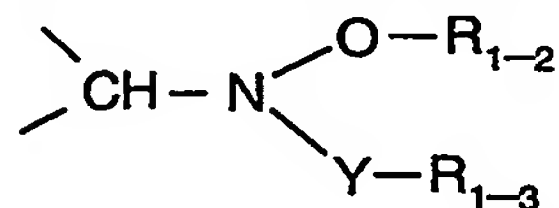


pyridin-2-ylmethyl.

23. The compound or salt of any one of claims 1 through 22 wherein Z is  
-C(=N-O-R<sub>1-2</sub>)-.

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24. The compound or salt of any one of claims 1 through 22 wherein Z is



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25. The compound or salt of any one of claims 1 through 23 wherein R<sub>1-3</sub> is  
selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyl, 1-pyrrolidinyl,  
phenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, *o*-tolyl, *m*-tolyl, *p*-  
tolyl, and pyridin-3-yl.

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26. The compound or salt of any one of claims 1 through 23 or 25 wherein Y  
is selected from the group consisting of:

-C(O)-,  
-C(O)-O-,  
-S(O)<sub>2</sub>-,  
-C(O)-N(R<sub>8</sub>)-, and  
-C(S)-N(R<sub>8</sub>)-,

20

27. The compound or salt of claim 26 wherein R<sub>8</sub> is H or CH<sub>3</sub>.

25

28. A pharmaceutical composition comprising a therapeutically effective  
amount of a compound or salt of any one of claims 1-27 in combination with a  
pharmaceutically acceptable carrier.

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29. A method of inducing cytokine biosynthesis in an animal comprising  
administering an effective amount of a compound or salt of any one of claims 1-  
27 to the animal.

30. A method of treating a viral disease in an animal in need thereof comprising administering a therapeutically effective amount of a compound or salt of any one of claims 1-27 to the animal.
- 5 31. A method of treating a neoplastic disease in an animal in need thereof comprising administering a therapeutically effective amount of a compound or salt of any one of claims 1-27 to the animal.